STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 133401

TO:	From:
Shailendra Kumar Location: 5c03 / 5c18 Wednesday, September 29, 2004 Art Unit: 1521 Phone: 272-0640 Serial Number: 10 / 680979	Jan Delaval Location: Biotech-Chem Library Rem 1A51 Phone: 272-2504 jan.delaval@uspto.gov

Search Notes

133401

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: S. Kumar Examiner #: 69594 Date: 9/23/04
Art Unit: 1624 Phone Number 30 3-0640 Serial Number: 10/660,979
Mail Box and Bldg/Room Location: NEM 5C03 Results Format Preferred (circle): PAPER DISK E-MAIL
5C18

If more than one search is submitted, please prioritize searches in order of need.

****

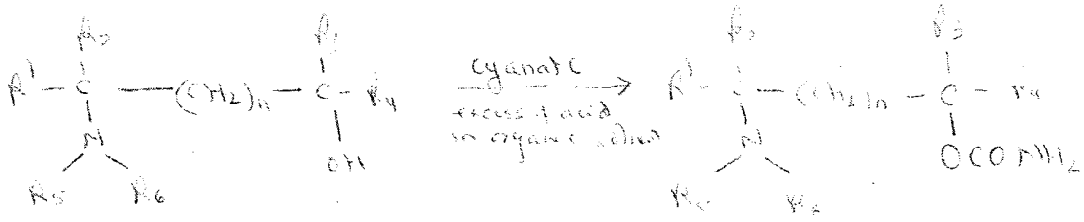
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Process of preparing O-carbamoyl compounds in the presence of active amine compound

Inventors (please provide full names): Yong-Moon Choi et al

Earliest Priority Filing Date: 12/19/2003

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**



See claims 1, 8, 9, 10, 11, 14

****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher:	NA Sequence (#)	STN ✓
Searcher Phone #: 27504	AA Sequence (#)	Dialog
Searcher Location:	Structure (#) ✓	Questel/Orbit
Date Searcher Picked Up: 9/24	Bibliographic	Dr.Link
Date Completed: 9/24	Litigation	Lexis/Nexis (STN)
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time: 30	Patent Family	WWW/Internet
Online Time: + 140	Other	Other (specify)

PTO-1590 (8-01)

```
=> fil casreact
FILE 'CASREACT' ENTERED AT 10:03:38 ON 29 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is
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for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 26 Sep 2004 VOL 141 ISS 13

************************************************************
*                                                          *
*     CASREACT now has more than  8 million reactions      *
*                                                          *
************************************************************

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991)
provided by InfoChem, INPI data prior to 1986, and Biotransformations
database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance
identification.

=> d sta que l24
L18             STR

N~X~C~G1~C
1   2   3   4


REP G1=(0-5) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   4

STEREO ATTRIBUTES: NONE
L21             STR

RRT                          PRO

N~X~C--G1--C--OH             N~X~C--G1--C--O==C==N
1   2   3   4   5            6   7   8   9   10  11  12
                                        13
                                        O
                                        ||


REP G1=(0-5) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L23          233 SEA FILE=CASREACT SSS FUL L21 (  1681 REACTIONS)
L24          233 SEA FILE=CASREACT SUB=L23 SSS FUL L18 (  1681 REACTIONS)
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100.0% DONE 1681 VERIFIED 1681 HIT RXNS 233 DOCS
SEARCH TIME: 00.00.02

=> d his

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               E CHOI Y/AU
L1           442 S E3,E17
               E CHOI YONG/AU
L2           129 S E3,E60,E63
               E CHOI YOUNGMOON/AU
L3             1 S E3
               E KIM M/AU
L4           264 S E3,E30,E31
               E KIM MIN/AU
L5            79 S E3,E98
L6             5 S E148
               E SK/PA,CS
L7            85 S E45-E68
L8         27657 S E3,E4
L9             6 S O CARBAMOYL AND L1-L8
L10            7 S O CARBAM? AND L1-L8
L11            7 S L10,L9
L12            8 S L1-L3 AND L4-L6
L13            6 S L1-L6 AND L7,L8
L14           14 S L12,L13
L15           13 S L14 NOT L11
L16            6 S L15 AND ?CARBAM?
L17           13 S L11,L16

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L18              STR
L19              STR L18
L20            0 S L19
L21              STR L19
L22            7 S L21
L23          233 S L21 FUL
               SAV TEMP L23 KUMAR680/A
L24          233 S L18 FUL SUB=L23
               SAV TEMP L24 KUMAR680A/A

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L25            5 S (SODIUM CYANATE OR POTASSIUM CYANATE OR AMMONIUM CYANATE OR M
L26            1 S 420-05-3
L27          235 S 420-05-3/CRN
L28           12 S (HYDROCHLORIC ACID OR SULFURIC ACID OR PHOSPHORIC ACID OR ACE
L29           12 S (DICHLOROMETHANE OR ACETONITRILE OR CHLOROFORM OR 1,2-DICHLOR

     FILE 'HCAPLUS' ENTERED AT 08:34:57 ON 29 SEP 2004

     FILE 'CASREACT' ENTERED AT 08:35:03 ON 29 SEP 2004
L30            3 S L25,L26 AND L24
L31            4 S L27 AND L24
L32           89 S L28 AND L24
L33          135 S L29 AND L24
L34            3 S L30,L31 AND L32,L33
L35            4 S L30,L31,L34
L36            4 S L35 AND L23
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L37             STR
L38           50 S L37
L39              STR L37
L40              STR L39
L41           50 S L40
L42        23281 S L40 FUL

     FILE 'HCAPLUS' ENTERED AT 08:41:48 ON 29 SEP 2004
L43         3187 S L42(L)PREP+NT/RL OR L42/P
L44        16517 S L42
L45         2794 S L25,L26
L46         2029 S (NA OR SODIUM OR K OR POTASSIUM OR NH3 OR AMMONIUM OR MG OR M
L47           13 S L43 AND L45,L46
L48           11 S (NAOCN OR KOCN OR NH3OCN OR MGOCN OR CAOCN) AND L43
L49            0 S (NA OR K OR NH3 OR MG OR CA)()OCN AND L43
L50           13 S L44 AND L45,L46
L51           14 S (NAOCN OR KOCN OR NH3OCN OR MGOCN OR CAOCN) AND L44
L52            1 S (NA OR K OR NH3 OR MG OR CA)()OCN AND L44
L53           24 S L47,L48,L50-L52
L54           12 S L43 AND L27
L55           12 S L44 AND L27
L56           25 S L53-L55
L57           34 S L28 AND L43
L58          137 S L28 AND L44
L59           33 S L29 AND L43
L60           79 S L29 AND L44
L61            3 S L56 AND L57-L60
L62          226 S L47-L61
L63         3103 S L43 NOT L62

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L64          SEL L62 1- RN :   20116 TERMS
              SET SMARTSELECT OFF

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L65       20116 S L64

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L66          SEL L63 1- RN :   50645 TERMS
              SET SMARTSELECT OFF

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L67       50625 S L66

     FILE 'HCAPLUS' ENTERED AT 08:53:12 ON 29 SEP 2004
L68         3103 S L63 OR L63
L69          500 S L68  RAN=(2001:713296,)
L70          500 S L68  RAN=(1998:265055,2001:704856)
L71          500 S L68  RAN=(1993:537527,1998:263478)
L72          500 S L68  RAN=(1986:568756,1993:534388)
L73          500 S L68  RAN=(1976:592613,1986:552768)
L74          603 S L68  RAN=(,1976:592573)

     FILE 'REGISTRY' ENTERED AT 08:55:29 ON 29 SEP 2004

     FILE 'HCAPLUS' ENTERED AT 08:55:30 ON 29 SEP 2004
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L75          SEL L74 1- RN :   12729 TERMS
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L76        12729 S L75
                 SET SMARTSELECT ON
                 SET SMARTSELECT OFF

     FILE 'HCAPLUS' ENTERED AT 08:57:24 ON 29 SEP 2004
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L77          SEL L73 1- RN :   24463 TERMS
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L78        24462 S L77

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                 SET SMARTSELECT ON
L79          SEL L72 1- RN :   35353 TERMS
                 SET SMARTSELECT OFF

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L80        35353 S L79

     FILE 'HCAPLUS' ENTERED AT 09:01:14 ON 29 SEP 2004
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L81          SEL L71 1- RN :   42897 TERMS
                 SET SMARTSELECT OFF

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L82        42897 S L81

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L83          SEL L70 1- RN :   50471 TERMS
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     FILE 'REGISTRY' ENTERED AT 09:05:24 ON 29 SEP 2004
L84        50471 S L83

     FILE 'HCAPLUS' ENTERED AT 09:08:39 ON 29 SEP 2004
L85          250 S L68  RAN=(2003:173580,)
L86          250 S L68  RAN=(2001:713296,2003:168865)
L87          250 S L68  RAN=(2000:43347,2001:704856)
L88          250 S L70 NOT L87

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     FILE 'HCAPLUS' ENTERED AT 09:13:06 ON 29 SEP 2004
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L89          SEL L88 1- RN :   26803 TERMS
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     FILE 'REGISTRY' ENTERED AT 09:13:24 ON 29 SEP 2004
L90        26803 S L89

     FILE 'HCAPLUS' ENTERED AT 09:14:59 ON 29 SEP 2004
                 SET SMARTSELECT ON
L91          SEL L87 1- RN :   36295 TERMS
                 SET SMARTSELECT OFF

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L92        36295 S L91
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L93           SEL L86 1- RN :   41291 TERMS
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L94         41291 S L93

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L95           SEL L85 1- RN :   50645 TERMS
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L96         50645 S L95

     FILE 'HCAPLUS' ENTERED AT 09:24:09 ON 29 SEP 2004
L97           125 S L85  RAN=(2003:841484,)
L98           125 S L85 NOT L97

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L99           SEL L98 1- RN :   23914 TERMS
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L100        23914 S L99

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L101          SEL L97 1- RN :   32103 TERMS
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L102        32103 S L101
L103       239012 S L65,L67,L76,L78,L80,L82,L84,L90,L92,L94,L96,L100,L102
L104           50 S L39 SAM SUB=L103
L105        72933 S L39 FUL SUB=L103
L106              STR L39
L107              STR L106
L108        72933 S L105 OR L105
L109        36000 S L108  RAN=(192723-34-5,)
L110        36933 S L108 NOT L109

     FILE 'HCAPLUS' ENTERED AT 09:40:39 ON 29 SEP 2004
L111      1076566 S L109 OR L110
L112          177 S L62 AND L111
L113         2578 S L63 AND L111
L114           11 S L112,L113 AND L45,L46
L115           19 S L112,L113 AND L53
L116           19 S L114,L115
L117            2 S L116 AND L28,L29
L118           11 S L111(L)RACT+NT/RL AND L116
L119           70 S L111(L)RACT+NT/RL AND L112
L120           11 S L117,L118
L121            8 S L1-L8 AND L43
L122           26 S L1-L8 AND L44
L123           12 S L121,L122 AND L111
L124            7 S L123 AND L112,L113
L125           18 S L120,L124
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L126          5 S L123 NOT L125
L127         18 S L125 AND (PD<=20031008 OR PRD<=20031008 OR AD<=20031008)

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L128       2505 S L42 AND 46.150.18/RID AND 1/NR
L129         13 S L128 AND C10H14N2O2
                SEL RN 1 2 9 10 11 12 13
L130          6 S L129 NOT E1-E7
L131       3672 S L105 AND 46.150.18/RID AND 1/NR
L132         22 S L131 AND C9H13NO
                SEL RN 14 17 16 6
L133          4 S E8-E11

     FILE 'HCAPLUS' ENTERED AT 09:50:02 ON 29 SEP 2004
L134          1 S L130
L135          1 S L133 AND L134

     FILE 'REGISTRY' ENTERED AT 09:50:17 ON 29 SEP 2004
L136         55 S L42 AND NC5-C6/ES AND 2/NR
L137          6 S L136 AND C11H14N2O2
L138        277 S L105 AND NC5-C6/ES AND 2/NR
L139          5 S L138 AND C10H13NO
                SEL RN 3 5
L140          3 S L139 NOT E12-E13

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L141          1 S L137
L142          1 S L141 AND L140

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L143        996 S L42 AND 46.150.18/RID AND NC5/ES AND 3/NR
L144          6 S L143 AND C21H23FN2O3
L145       3756 S L105 AND 46.150.18/RID AND NC5/ES AND 3/NR
L146          0 S L145 AND C20H22FNO2
L147         40 S C20H22FNO2 AND 46.150.18/RID AND NC5/ES AND 3/NR
L148          6 S L147 AND METHANONE AND 4 FLUOROPHENYL AND 2 HYDROXY 2 PHENYLE

     FILE 'HCAPLUS' ENTERED AT 09:57:39 ON 29 SEP 2004
L149          1 S L144
L150          0 S L149 AND L148
L151          3 S L148
L152         22 S L127,L135,L142,L149,L151
L153         11 S L152 AND ?CYANAT?
L154         11 S L152 AND L45,L46
L155         12 S L153,L154
L156         10 S L152 NOT L155
L157          5 S L156 AND L135,L142,L149,L151
L158          5 S L156 NOT L157

     FILE 'CASREACT' ENTERED AT 10:00:55 ON 29 SEP 2004

     FILE 'CASREACT' ENTERED AT 10:03:38 ON 29 SEP 2004

=> d bib abs fhit retable l36 tot


L36  ANSWER 1 OF 4  CASREACT  COPYRIGHT 2004 ACS on STN
AN   137:124782  CASREACT
TI   Method for carbamoylating alcohols with an alkali metal cyanate in the
     presence of methanesulfonic, sulfuric or acetic acids
IN   Ellis, James E.
PA   USA
SO   U.S. Pat. Appl. Publ., 8 pp.
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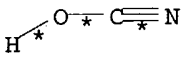
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

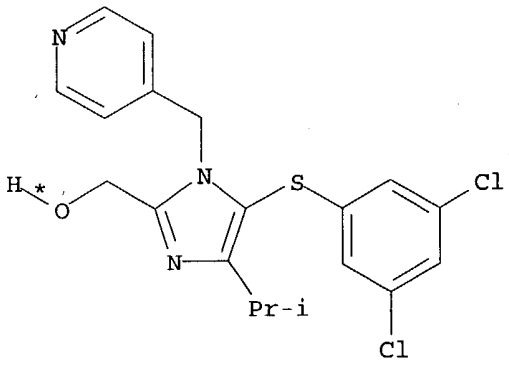
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002103378	A1	20020801	US 2002-56268	20020125
	US 6613908	B2	20030902		
	WO 2002060893	A1	20020808	WO 2002-IB82	20020111
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1377568	A1	20040107	EP 2002-737611	20020111
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002006806	A	20040203	BR 2002-6806	20020111
	JP 2004518687	T2	20040624	JP 2002-561042	20020111
PRAI	US 2001-265502P	20010131			
	WO 2002-IB82	20020111			

AB The present invention includes a method for carbamoylating an alc. with sodium cyanate in the presence of methanesulfonic acid. The reaction can be conducted under anhydrous conditions. This method is suitable for carbamoylating a mol. including both an alc. moiety and a basic moiety and/or a mol. including both an alc. moiety and a sulfenyl moiety, such as the sulfenyl alc. precursor of the antiviral agent Capravirine.

RX(1) OF 1 **A** + **B** ===> **C**

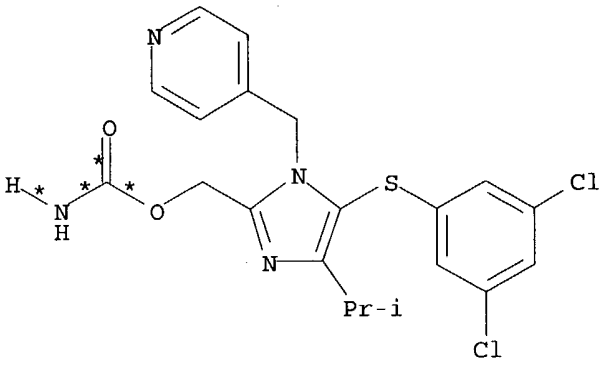


A



B

(1) ⟶



C
YIELD 95%

RX(1) RCT A **917-61-3**, B **178981-89-0**

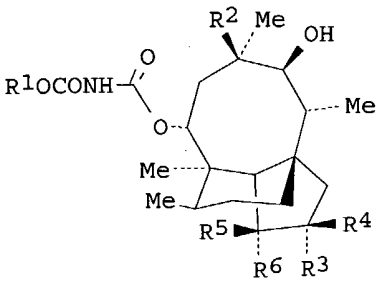
STAGE(1)
CAT **75-75-2** MeSO3H
SOL **75-05-8** MeCN

STAGE(2)
SOL 7732-18-5 Water
PRO C **178979-85-6**
NTE optimization study

L36 ANSWER 2 OF 4 CASREACT COPYRIGHT 2004 ACS on STN
AN 136:325706 CASREACT
TI Preparation of pleuromutilin derivatives as antibacterial agents
IN Elder, John Stephen; Forrest, Andrew Keith; Jarvest, Richard Lewis; Sheppard, Robert John
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030929	A1	20020418	WO 2001-EP11603	20011008
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002018215	A5	20020422	AU 2002-18215	20011008
	EP 1351959	A1	20031015	EP 2001-986687	20011008
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004511482	T2	20040415	JP 2002-534315	20011008
	US 2004024059	A1	20040205	US 2003-399023	20030725
PRAI	GB 2000-24811		20001010		
	WO 2001-EP11603		20011008		

OS MARPAT 136:325706
GI



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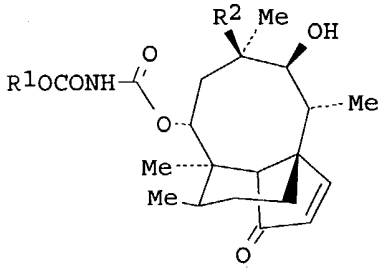
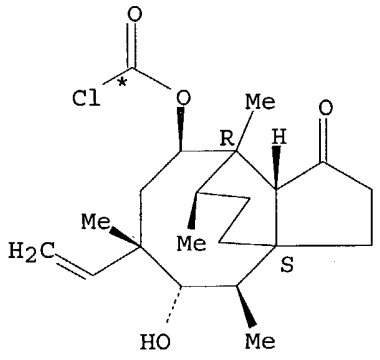


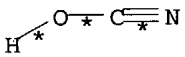
II

AB Pleuromutilin derivs., such as I or II [R1 = (substituted) heterocycle, alkyl, cycloalkyl, heteroaryl; R2= vinyl, Et; R3 = H, OH, F; R4 = H, F; R5, R6 = H, OH; R5R6 = oxo], were prepared for the use in antibacterial therapy. Thus, reaction between 2-(methylsulfonyl)ethyl chloroformate and (3R)-3-deoxo-11-deoxy-3-methoxy-11-oxo-4-epimutilin provided (3R)-3-deoxo-11-deoxy-3-methoxy-11-oxo-4-epimutilin 14-[N-(2-methylsulfonylethoxycarbonyl)]carbamate, which on selective oxidation of 3-methoxyl group and simultaneous reduction of 11-oxo group, afforded pleuromutilin derivative I [R1 = CH2CH2SO2Me; R2 = CH:CH2; R3, R4 = H; R5R6 = O (III)]. The prepared pleuromutilin derivs. were tested for antibacterial activity against Staphylococcus aureus Oxford, Streptococcus pneumoniae 1629, Moraxella catarrhalis 502 and Haemophilus influenzae Q1, e.g. III MIC = ≤4 μg/mL (S. aureus).

RX(2) OF 124 D + **E** + F ===> G

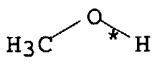


D



● Ag(I)

E



F

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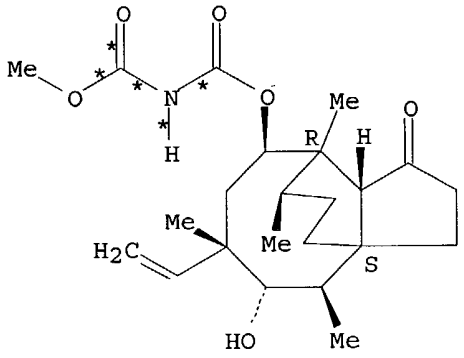


G
YIELD 21%

RX(2) RCT D 412278-62-7, E **3315-16-0**

STAGE(1)
RGT H 110-86-1 Pyridine
SOL **75-09-2** CH2Cl2

STAGE(2)
RCT F 67-56-1

STAGE(3)
RGT I **7647-01-0** HCl
SOL 123-91-1 Dioxane
PRO G 412275-39-9

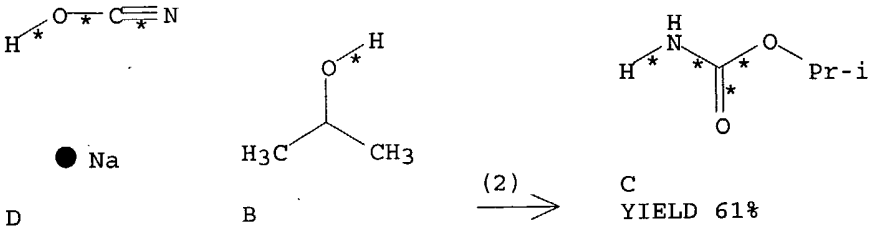
RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Brooks, G	2001			WO 0174788 A	CAPLUS
Dominic, S	1999			WO 9921855 A	CAPLUS
Hunt, E	1997			WO 9725309 A	CAPLUS
Naylor, A	1998			WO 9805659 A	CAPLUS
Naylor, A	2001			WO 0114310 A	CAPLUS

L36 ANSWER 3 OF 4 CASREACT COPYRIGHT 2004 ACS on STN
AN 106:130551 CASREACT
TI Synthesis and reactivities of triisocyanatoantimony
AU Kijima, Ichiro; Wakeshima, Ikuko; Sasaki, Toru
CS Fac. Eng., Sci. Univ. Tokyo, Tokyo, 162, Japan
SO Nippon Kagaku Kaishi (1986), (12), 1754-57
CODEN: NKAKB8; ISSN: 0369-4577
DT Journal
LA Japanese
AB Sb(NCO)3 was prepared by the reaction of SbCl3 with NaOCN in the presence of several additives in benzene and THF. The reaction was accelerated remarkably by using THF as an additive in benzene to give Sb(NCO)3 in high yield. Sb(NCO)3 reacted with amines such as NHEt2, BuNH2, PhH2, and NH3 to afford only the corresponding triureidoantimony compds., but reacted with alcs. such as iso-PrOH, BuOH, sec- and tert-BuOH or PhOH to yield the corresponding carbamate and trialkoxo- or triphenoxyantimony compds. Sb(NCO)3 reacted also with 2-diethylaminoethanol (HL) to give SbL3 and 2-diethylaminoethyl carbamate, together with isocyanuric acid. Sb(NCO)3 reacted with alcs. and PhOH to yield the corresponding substituted

products, but the reaction with amines provided only the corresponding addition products.

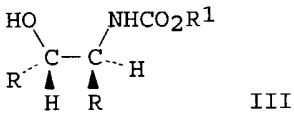
RX(2) OF 24 **D** + B ===> C



D B C
YIELD 61%

RX(2) RCT D **917-61-3**, B 67-63-0
RGT E 10025-91-9 SbCl3
PRO C 1746-77-6

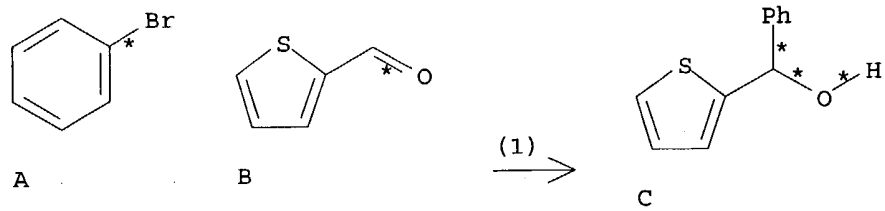
L36 ANSWER 4 OF 4 CASREACT COPYRIGHT 2004 ACS on STN
AN 106:17586 CASREACT
TI Asymmetric syntheses and potential asymmetric synthesis of α-amino alcohols: hydroxyamination of olefins by the sharpless method
AU Ben Hassine, B.; Gorsane, M.; Pecher, J.; Martin, R. H.
CS Lab. Synth. Org. Photochim., Fac. Sci. Tech., Monastir, 5000, Tunisia
SO Bulletin des Societes Chimiques Belges (1985), 94(11-12), 759-69
CODEN: BSCBAG; ISSN: 0037-9646
DT Journal
LA French
GI



III

AB Optically active α-amino alcs. were synthesized by the Sharpless method using (-)-10,11-dihydroquinine (I) and (R)-(-)-pantolactone as chiral inducers (R1OH). (dl)-2-Hydroxyheptahelicene (II) and 5 secondary (dl) alcs. were also used to prepare the intermediate diastereomeric (dl)α-hydroxy carbamates III. The highest inductions (e.e. ≥98%) were obtained with (E)-stilbene and I or II.

RX(1) OF 57 A + B ===> C...



A B C

RX(1) RCT A 108-86-1, B 98-03-3
RGT D 7439-95-4 Mg
PRO C 26059-21-2
SOL **109-99-9** THF

=> fil reg
FILE 'REGISTRY' ENTERED AT 10:04:04 ON 29 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 SEP 2004 HIGHEST RN 752974-11-1
DICTIONARY FILE UPDATES: 27 SEP 2004 HIGHEST RN 752974-11-1

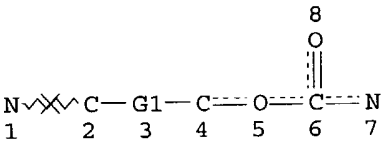
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que l42
L40 STR



Product

REP G1=(0-5) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE
L42 23281 SEA FILE=REGISTRY SSS FUL L40

100.0% PROCESSED 224298 ITERATIONS 23281 ANSWERS
SEARCH TIME: 00.00.02

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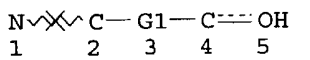
L39 HAS NO ANSWERS
L39 STR



Starting material

REP G1=(0-5) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 5

STEREO ATTRIBUTES: NONE

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 10:04:55 ON 29 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 29 Sep 2004 VOL 141 ISS 14
FILE LAST UPDATED: 28 Sep 2004 (20040928/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> => d l155 all fhitstr tot

L155 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:575780 HCAPLUS
DN 137:124782
ED Entered STN: 02 Aug 2002
TI Method for carbamoylating alcohols with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids
IN Ellis, James E.
PA USA
SO U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07D041-02
ICS C07C269-00; C07H013-00
NCL 546272100
CC 21-2 (General Organic Chemistry)
Section cross-reference(s): 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002103378	A1	20020801	US 2002-56268	20020125 <--
	US 6613908	B2	20030902		
	WO 2002060893	A1	20020808	WO 2002-IB82	20020111 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1377568 A1 20040107 EP 2002-737611 20020111 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2002006806 A 20040203 BR 2002-6806 20020111 <--
JP 2004518687 T2 20040624 JP 2002-561042 20020111 <--
PRAI US 2001-265502P P 20010131 <--
WO 2002-IB82 W 20020111 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2002103378	ICM	C07D041-02
	ICS	C07C269-00; C07H013-00
	NCL	546272100
US 2002103378	ECLA	C07C269/00; C07D401/06 <--
JP 2004518687	FTERM	4C063/AA01; 4C063/BB03; 4C063/CC25; 4C063/DD12; 4C063/EE05; 4H039/CA99; 4H039/CF40 <--

OS CASREACT 137:124782

AB The present invention includes a method for carbamoylating an alc. with **sodium cyanate** in the presence of methanesulfonic acid. The reaction can be conducted under anhydrous conditions. This method is suitable for carbamoylating a mol. including both an alc. moiety and a basic moiety and/or a mol. including both an alc. moiety and a sulfenyl moiety, such as the sulfenyl alc. precursor of the antiviral agent Capravirine.

ST carbamoylation sulfenyl alc **sodium cyanate** methanesulfonic acid antiviral agent

IT Carbamoylation catalysts
(method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids)

IT Alcohols, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids)

IT Antiviral agents
(method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids suitable for preparation of)

IT Heterocyclic compounds
RL: CAT (Catalyst use); USES (Uses)
(nitrogen; method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids optionally in the presence of)

IT **64-19-7**, Acetic acid, uses **75-75-2**, Methanesulfonic acid **7664-93-9**, Sulfuric acid, uses
RL: CAT (Catalyst use); USES (Uses)
(method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids)

IT **590-28-3**, **Potassium cyanate 917-61-3**, **Sodium cyanate 21846-90-2**, Cesium **cyanate**
RL: RCT (Reactant); RACT (Reactant or reagent)
(method for carbamoylating alcs. including sulfenyl alcs. with an

alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids)

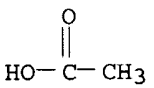
IT **178981-89-0**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids suitable for carbamoylation of)

IT **178979-85-6P**, Capravirine
RL: **IMF (Industrial manufacture)**; **PUR (Purification or recovery)**; **PREP (Preparation)**
(method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids suitable for preparation of)

IT **75-05-8**, Acetonitrile, uses **109-99-9**, THF, uses
141-78-6, Ethyl acetate, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids in)

IT **64-19-7**, Acetic acid, uses
RL: **IMF (Industrial manufacture)**; **PUR (Purification or recovery)**; **PREP (Preparation)**
(method for carbamoylating alcs. including sulfenyl alcs. with an alkali metal **cyanate** in the presence of methanesulfonic, sulfuric or acetic acids)

RN 64-19-7 HCAPLUS
CN Acetic acid (7CI, 8CI, 9CI) (CA INDEX NAME)



L155 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:353634 HCAPLUS
DN 136:365765
ED Entered STN: 12 May 2002
TI Inhibitors of transglutaminases
IN Fuchsbauer, Hans-Lothar; Pasternack, Ralf; Zotzel, Jens
PA N-Zyme Biotec G.m.b.H., Germany
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM C12P013-00
CC 7-8 (Enzymes)
Section cross-reference(s): 34
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002036798	A2	20020510	WO 2001-EP12727	20011102 <--
WO 2002036798	A3	20030424		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10054687	A1	20020516	DE 2000-10054687	20001103 <--
AU 2002014038	A5	20020515	AU 2002-14038	20011102 <--
US 2002132776	A1	20020919	US 2001-4110	20011102 <--
DE 20121865	U1	20030925	DE 2001-20121865	20011102 <--
PRAI DE 2000-10054687	A	20001103 <--		
WO 2001-EP12727	W	20011102 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002036798	ICM	C12P013-00
DE 20121865	ECLA	C07K005/06A1B2; C07K005/06C1; C07K005/06T; C07K005/08A1F; C07K005/08B; C07K005/08T; C07K007/06A<--

OS MARPAT 136:365765

AB The invention relates to a chemical compound of formula R1(CH2)mYn(CH2)oC(Z)R2 (I), wherein R1 means formula R4bqNHCH(CH3)C(O)apR3, (II), R6X(CH3)R5, or (III); R2 means H, alkyl, which can optionally be substituted with halogen or N2, or NH2; m and o mean 0-3 and n means 0 or 1; ap, bq and cr mean amino acid chains and p, q, and r mean the number of amino acids, a and/or b and/or c also being able to contain ≥1 side chain, represented by (CH2)mYn(CH2)oC(Z)R2, Y, Z, R2, m, n, and o having the same meaning as in formula I, and p, q and r being the same or different and meaning a whole number from 0 to 1000; R3 and R4, independently of each other, mean H, alkyl, aryl, a heterocycle, an amino protective group or a carboxy protective group; R5 and R6, independently of each other, mean alkyl which can contain ≥1 hetero atom selected from N, O and S; aryl or a heterocycle; X means a methine group, a N or a P atom; Y means an O atom, a S atom or an NH-group; and Z means an O atom, a S atom or an NR7-group, R7 meaning H, alkyl, aryl, a heterocycle, O-alkyl, O-aryl, O-heterocycle, NR2 or NHCONR2, R meaning H, alkyl, aryl or a heterocycle; and to the use of said compound as an inhibitor of transglutaminases.

ST transglutaminase inhibitor

IT Caseins, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(N,N-Di-Me; inhibitors of transglutaminases)

IT Caseins, biological studies
RL: BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(N,N-di-me, chloroacetyl esters; inhibitors of transglutaminases)

IT 9013-56-3, Factor XIII 9067-75-8, Blood-coagulation factor XIIIa 80146-85-6, Transglutaminase
RL: BCP (Biochemical process); BIOL (Biological study); PROC (Process)
(inhibitors of transglutaminases)

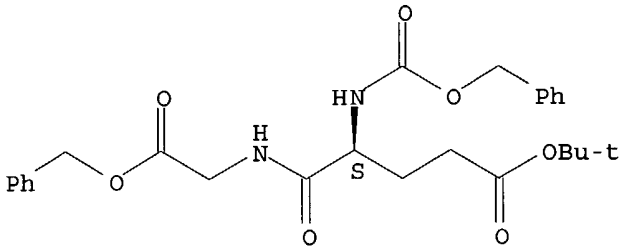
IT **40350-27-4P 67580-82-9P 422322-59-6P 422322-60-9P 422322-68-7P 422322-71-2P 422322-72-3P**
RL: BSU (Biological study, unclassified); PUR (Purification or recovery); **RCT (Reactant)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); **RACT (Reactant or reagent)**
(inhibitors of transglutaminases)

IT **50903-74-7P 57403-29-9P 422322-58-5P 422322-61-0P 422322-62-1P 422322-63-2P 422322-64-3P 422322-65-4P 422322-66-5P 422322-67-6P 422322-69-8P 422322-70-1P 422322-73-4P 422322-74-5P**
RL: BSU (Biological study, unclassified); **PUR (Purification or recovery)**; **SPN (Synthetic preparation)**; BIOL (Biological study); **PREP (Preparation)**
(inhibitors of transglutaminases)

IT 109-02-4, N-Methylmorpholine 543-27-1, Isobutyl chloroformate **590-28-3, Potassium cyanate** 771-61-9, Pentafluorophenol 1738-68-7, Glycine benzyl ester 1885-14-9, Phenyl chloroformate 2712-78-9, [Bis(trifluoroacetoxy)iodo]benzene **3256-57-3 4526-93-6** 4666-16-4 6456-74-2, Glycine

tert-butyl ester **6610-42-0**, Carbobenzoxy-L-glutaminylglycine
30735-20-7 41017-96-3, L-Isoleucyl-L-valine
54793-58-7 132194-72-0 250290-76-7
422322-54-1 422322-56-3 422322-57-4
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(inhibitors of transglutaminases)
IT **40350-27-4P**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**;
SPN (Synthetic preparation); SPN (Synthetic preparation);
PREP (Preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(inhibitors of transglutaminases)
RN 40350-27-4 HCAPLUS
CN Glycine, N-[(phenylmethoxy)carbonyl]-L-α-glutamyl-, 1-(1,1-dimethylethyl) 2-(phenylmethyl) ester (9CI) (CA INDEX NAME)

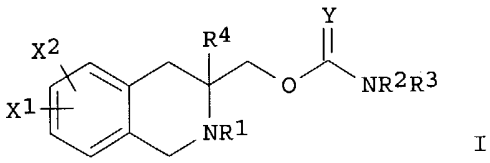
Absolute stereochemistry.



L155 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:603138 HCAPLUS
DN 131:228660
ED Entered STN: 23 Sep 1999
TI Preparation of carbamoyloxymethyltetrahydroisoquinolinylalkanols as central nervous system agents.
IN **Choi, Yong Moon**
PA **SK Corp., USA**
SO U.S., 14 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-47
ICS C07D217-00; C07D217-16
NCL 514307000
CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5955471	A	19990921	US 1998-995645	19980113 <--
	WO 2000046204	A1	20000810	WO 1999-KR57	19990204 <--
	W: AU, CA, CN, JP, KR, RU				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9921889	A1	20000825	AU 1999-21889	19990204 <--
	AU 765198	B2	20030911		
	EP 1149079	A1	20011031	EP 1999-901984	19990204 <--
	EP 1149079	B1	20040421		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002536364	T2	20021029	JP 2000-597274	19990204 <--
	RU 2221786	C2	20040120	RU 2001-124420	19990204 <--

AT 264844 E 20040515 AT 1999-901984 19990204 <--
PRAI US 1998-995645 A 19980113 <--
WO 1999-KR57 A 19990204 <--
CLASS
PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES
US 5955471 ICM A61K031-47
ICS C07D217-00; C07D217-16
NCL 514307000
OS MARPAT 131:228660
GI



I

AB Title compds. (I; X1, X2 = H, alkyl, alkoxy, thioalkoxy, halo, OH, NO2, CF3; Y = O, S; R1 = H, alkyl, arylalkyl, CONHR'; R' = H, alkyl, arylalkyl, aryl; R2, R3 = H, alkyl, arylalkyl, cycloalkyl; R2R3N = 5-7 membered ring; R4 = H, alkyl), were prepared Thus, (S)-3-hydroxymethyl-1,2,3,4-tetrahydroisoquinoline was PhCH2O2CCl and Na2CO3 in THF to give (S)-N-benzyloxycarbonyl-3-hydroxymethyl-1,2,3,4-tetrahydroisoquinoline. This in THF was treated with carbonyldiimidazole and then with aqueous NH3 to give (S)-N-benzyloxycarbonyl-3-carbamoyloxycarbonyl-1,2,3,4-tetrahydroisoquinoline. The latter was hydrogenated in MeOH over Pd/C to give (S)-3-carbamoyloxymethyl-1,2,3,4-tetrahydroisoquinoline. The latter at 10 μM gave 97.7% inhibition of monoamine oxidase.

ST carbamoyloxymethyltetrahydroisoquinolinylalkanol prepn central nervous system agent; isoquinolinylalkanol carbamoyloxymethyl prepn central nervous system agent; monoamine oxidase inhibitor carbamoyloxymethyltetrahydroisoquinolinylalkanol prepn; antidepressant carbamoyloxymethyltetrahydroisoquinolinylalkanol prepn

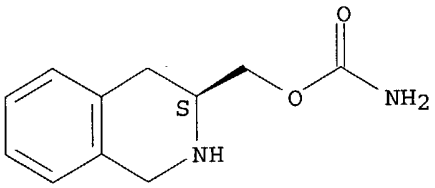
IT Antidepressants
Nervous system agents
(preparation of carbamoyloxymethyltetrahydroisoquinolinylalkanols as central nervous system agents)

IT **243858-56-2P 243858-57-3P 243858-58-4P**
243858-59-5P 243858-61-9P 243858-62-0P
243858-65-3P 243858-68-6P 243858-69-7P 243858-70-0P
243858-71-1P 243858-72-2P **243858-74-4P** 243858-76-6P
243858-78-8P 243870-46-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**;
USES (Uses)
(preparation of carbamoyloxymethyltetrahydroisoquinolinylalkanols as central nervous system agents)

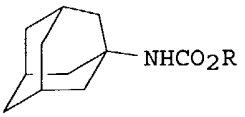
IT 103-71-9, Phenyl **isocyanate**, reactions **18881-17-9**, (S)-3-Hydroxymethyl-1,2,3,4-tetrahydroisoquinoline 41234-43-9, Ethyl 1,2,3,4-tetrahydroisoquinoline-3-carboxylate 59291-28-0 **62855-02-1**, (R)-3-Hydroxymethyl-1,2,3,4-tetrahydroisoquinoline **63006-93-9**, 3-Hydroxymethyl-1,2,3,4-tetrahydroisoquinoline 243858-81-3 243858-83-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbamoyloxymethyltetrahydroisoquinolinylalkanols as central

nervous system agents)
IT **54625-68-2P** 104668-13-5P **195832-14-5P**
243858-55-1P 243858-63-1P **243858-64-2P**
243858-66-4P 243858-67-5P 243858-73-3P **243858-75-5P**
243858-77-7P
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of carbamoyloxymethyltetrahydroisoquinolinylalkanols as central nervous system agents)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anon; GB 2266529 1993 HCAPLUS
(2) Anon; EP 564193 1993 HCAPLUS
(3) Anon; WO 9320099 1993 HCAPLUS
(4) Anon; WO 9413661 1994 HCAPLUS
(5) Anon; WO 9413664 1994 HCAPLUS
(6) Anon; WO 9617610 1994 HCAPLUS
(7) Anon; WO 9533727 1995 HCAPLUS
(8) Anon; WO 9616982 1996 HCAPLUS
(9) Blankley; US 5246943 1993 HCAPLUS
(10) Gafurov, M; Uzb Khim Zh 1988, V5, P15
(11) Gray, A; DE 1806900 HCAPLUS
(12) Kametani
(13) Kametani; 1968, V88(5), P573 HCAPLUS
(14) Renat; US 3449360 1969 HCAPLUS
(15) Richard; US 3308128 1967 HCAPLUS
IT **243858-56-2P**
RL: BAC (Biological activity or effector, except adverse); **SPN (Synthetic preparation)**; **PREP (Preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of carbamoyloxymethyltetrahydroisoquinolinylalkanols as central nervous system agents)
RN 243858-56-2 HCAPLUS
CN 3-Isoquinolinemethanol, 1,2,3,4-tetrahydro-, carbamate (ester), (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L155 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:151207 HCAPLUS
DN 116:151207
ED Entered STN: 17 Apr 1992
TI Simple synthesis of N-(1-adamantyl)carbamates
AU Klimochkin, Yu. N.; Moiseev, I. K.
CS Kuibyshev. Politekh. Inst., Kuibyshev, USSR
SO Zhurnal Organicheskoi Khimii (**1991**), 27(8), 1795-6
CODEN: ZORKAE; ISSN: 0514-7492
DT Journal
LA Russian
CC 24-8 (Alicyclic Compounds)
OS CASREACT 116:151207
GI



I

AB The title compds., e.g., I (R = Me, Et, CH2CH2OEt, CH2CH2NMe2) were prepared by the treatment of 1-adamantanol or its nitrate ester with ROH and **KOCN** in 37-64% yields.

ST carbamoylation adamantanol; adamantylcarbamate

IT 64-17-5, Ethanol, reactions 67-56-1, Methanol, reactions **108-01-0**, 2-(Dimethylamino)ethanol 110-80-5, 2-Ethoxyethanol
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(carbamoylation of adamantanol derivs. with, and **potassium cyanate**)

IT **590-28-3, Potassium cyanate**
RL: RCT (Reactant); RACT (Reactant or reagent)
(carbamoylation of adamantanol with, and alcs.)

IT 15598-87-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(carbamoylation of, with methanol and **potassium cyanate**)

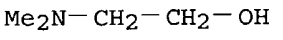
IT 25192-03-4P 59987-81-4P 136860-51-0P **136860-59-8P** 139537-50-1P
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**
(preparation of)

IT 768-95-6, Tricyclo[3.3.1.13,7]decan-1-ol 32314-61-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with **potassium cyanate** and alcs.)

IT **108-01-0**, 2-(Dimethylamino)ethanol
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**
(carbamoylation of adamantanol derivs. with, and **potassium cyanate**)

RN 108-01-0 HCAPLUS

CN Ethanol, 2-(dimethylamino)- (8CI, 9CI) (CA INDEX NAME)



L155 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:610794 HCAPLUS

DN 109:210794

ED Entered STN: 10 Dec 1988

TI 3-Pyrrolidinylthio-1-azabicyclo [3.2.0]hept-2-ene-2-carboxylic acid compounds, their preparation, pharmaceuticals containing them, their use against infections, and intermediates and their preparation

IN Murata, Masayoshi; Tsutsumi, Hideo; Matsuda, Keiji; Hattori, Kohji; Nakajima, Takashi

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 79 pp.
CODEN: EPXXDW

DT Patent

LA English

IC ICM C07D487-04
ICS A61K031-40; C07D417-04; C07D403-04; C07D405-04; C07D409-04; C07D413-04

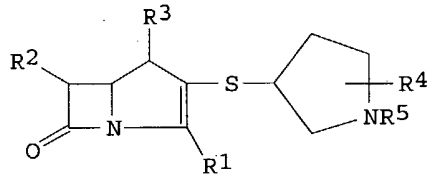
ICA C07D207-12; C07F007-18
ICI C07D487-04, C07D209-00, C07D205-00
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 272455	A1	19880629	EP 1987-117022	19871118 <--
	EP 272455	B1	19930210		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 85615	E	19930215	AT 1987-117022	19871118 <--
	ES 2053508	T3	19940801	ES 1987-117022	19871118 <--
	JP 63170379	A2	19880714	JP 1987-295902	19871124 <--
	JP 2555648	B2	19961120		
	US 4921852	A	19900501	US 1987-124603	19871124 <--
	US 5138064	A	19920811	US 1990-475975	19900206 <--
	US 5420122	A	19950530	US 1992-868196	19920414 <--
PRAI	GB 1986-28060		19861124 <--		
	GB 1987-15825		19870706 <--		
	EP 1987-117022		19871118 <--		
	US 1987-124603		19871124 <--		
	US 1990-475975		19900206 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 272455	ICM	C07D487-04
	ICS	A61K031-40; C07D417-04; C07D403-04; C07D405-04; C07D409-04; C07D413-04
	ICA	C07D207-12; C07F007-18
	ICI	C07D487-04, C07D209-00, C07D205-00

OS MARPAT 109:210794
GI



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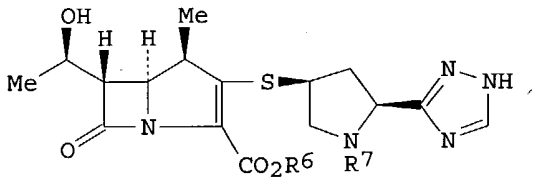

III

AB The title compds. I [R1 = (protected)carboxy; R2 = (protected)hydroxyalkyl; R3 = H, alkyl; R4 = (un)substituted heterocyclyl; R5 = H, imino protective group] and their salts, useful as antimicrobials, were prepared Carbapemen III (R6 = CH2C6H4NO2-4, R7 = CO2CH2C6H4NO2-4), prepared in 5 steps from (2S,4R)-2-carbamoyl-4-methylsulfonyloxy-1-(4-nitrobenzyloxycarbonyl)pyrrolidine and Me2NCH(OMe)2, was hydrogenolyzed to give III (R5 = R7 = H) (IV). In in vitro testing, the min. inhibitory concentration of IV against Proteus vulgaris 49 was 0.05 μg/mL.
ST antimicrobial azabicycloheptenecarboxylate prepn; bactericide azabicycloheptenecarboxylate prepn; carbapenem antimicrobial prepn

IT Bactericides, Disinfectants, and Antiseptics
Fungicides and Fungistats
((pyrrolidinylthio)azabicycloheptenecarboxylates)

IT Lactams
RL: SPN (Synthetic preparation); PREP (Preparation)
(β-, carbapenems, antimicrobial, preparation of
(pyrrolidinylthio)azabicycloheptenecarboxylates)

IT 14739-11-8P **117333-89-8P** 117333-91-2P 117333-92-3P
117333-93-4P 117333-94-5P **117333-95-6P** **117333-96-7P**
117333-97-8P 117333-98-9P 117333-99-0P 117334-00-6P 117334-01-7P
117334-68-6P 117336-17-1P 117336-18-2P 117336-19-3P 117336-20-6P
117336-25-1P 117336-26-2P 117336-27-3P 117336-28-4P 117336-29-5P
117336-31-9P 117336-32-0P 117336-33-1P 117336-39-7P 117336-43-3P
117336-44-4P 117336-46-6P 117336-47-7P 117336-48-8P
117336-49-9P **117336-50-2P** 117336-53-5P 117336-54-6P
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117336-62-6P 117336-63-7P 117336-64-8P 117336-66-0P 117336-75-1P
117336-76-2P 117336-81-9P 117336-82-0P **117336-83-1P**
117336-84-2P 117336-85-3P 117336-87-5P 117336-88-6P 117336-89-7P
117336-90-0P 117336-91-1P 117336-92-2P **117336-93-3P**
117336-94-4P 117336-95-5P 117336-96-6P **117336-97-7P**
117355-21-2P **117355-25-6P**
RL: **RCT (Reactant)**; **SPN (Synthetic preparation)**;
PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, in synthesis of antimicrobial carbapenems)

IT 117334-07-3P 117334-08-4P 117334-09-5P 117334-10-8P 117334-11-9P
117334-12-0P 117334-13-1P **117334-14-2P** **117334-15-3P**
117334-16-4P **117334-17-5P** **117334-18-6P**
117334-19-7P **117334-20-0P** 117334-21-1P 117334-22-2P
117334-23-3P 117334-24-4P 117334-25-5P 117334-26-6P 117334-27-7P
117334-28-8P 117334-29-9P 117334-30-2P 117334-31-3P 117334-32-4P
117334-33-5P **117334-34-6P** **117334-35-7P**
117334-36-8P **117334-37-9P** **117334-39-1P**
117334-40-4P **117334-41-5P** **117334-42-6P**
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117334-51-7P **117334-52-8P** **117334-53-9P**
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; BIOL (Biological study); **PREP (Preparation)**
(preparation of, as antimicrobial)

IT 93711-80-9P **96035-09-5P** 117333-83-2P **117333-84-3P**
117333-85-4P 117333-86-5P 117333-87-6P **117333-88-7P**
117333-90-1P 117334-02-8P 117334-03-9P 117334-04-0P 117334-05-1P
117334-06-2P 117336-22-8P 117336-24-0P 117336-34-2P 117336-35-3P
117336-36-4P 117336-37-5P 117336-38-6P **117336-41-1P**
117336-51-3P 117336-58-0P 117336-67-1P 117336-68-2P
117336-69-3P 117336-70-6P 117336-71-7P 117336-72-8P 117336-73-9P
117336-74-0P 117336-77-3P 117336-79-5P 117336-98-8P 117339-81-8P
117355-22-3P 117355-23-4P
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**
(preparation of, as intermediate for carbapenem antimicrobials)

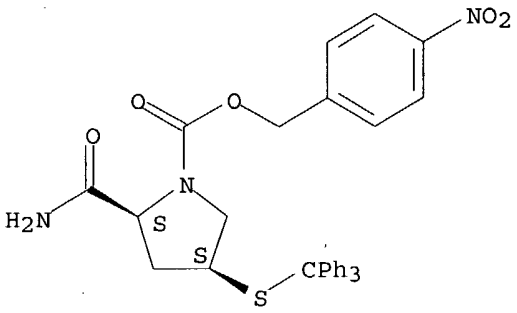
IT **56-45-1**, L-Serine, reactions 60-23-1, 2-Aminoethanethiol
62-55-5, Thioacetamide 62-56-6, Thiourea, reactions 70-23-5, Ethyl bromopyruvate 76-83-5, Trityl chloride 100-79-8 107-15-3, 1,2-Ethanediamine, reactions 107-21-1, 1,2-Ethanediol, reactions 109-80-8, 1,3-Propanedithiol 115-08-2, Thioformamide 302-01-2, Hydrazine, reactions 507-09-5, Ethanethioic acid, reactions 540-63-6, 1,2-Ethanedithiol **590-28-3**, **Potassium cyanate**

867-44-7 1189-71-5, Chlorosulfonyl **isocyanate** 4457-32-3, 4-Nitrobenzyloxycarbonyl chloride 4637-24-5 4704-77-2, 3-Bromo-1,2-propanediol 5470-11-1, Hydroxylamine hydrochloride 6610-29-3, 4-Methylthiosemicarbazide 22483-09-6 26628-22-8, Sodium azide 36016-40-7, O-(Mesitylenesulfonyl)hydroxylamine 89226-13-1 90822-24-5 **96035-08-4 96035-09-5** 117333-90-1 117334-02-8 117334-08-4 117334-67-5 117336-17-1 117336-21-7 117336-30-8 **117336-40-0 117336-42-2** **117336-45-5** 117336-52-4 117336-60-4 **117336-65-9** 117336-77-3 117336-78-4 117336-80-8 117336-86-4 117355-20-1 117355-24-5 117407-10-0
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(reaction of, in synthesis of antimicrobial carbapenems)

IT **117333-89-8P**
RL: **RCT (Reactant); RACT (Reactant or reagent); SPN (Synthetic preparation); RACT (Reactant or reagent); PREP (Preparation)**
(preparation and reaction of, in synthesis of antimicrobial carbapenems)

RN 117333-89-8 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(aminocarbonyl)-4-[(triphenylmethyl)thio]-, (4-nitrophenyl)methyl ester, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L155 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:130551 HCAPLUS
DN 106:130551
ED Entered STN: 17 Apr 1987
TI Synthesis and reactivities of **triisocyanatoantimony**
AU Kijima, Ichiro; Wakeshima, Ikuko; Sasaki, Toru
CS Fac. Eng., Sci. Univ. Tokyo, Tokyo, 162, Japan
SO Nippon Kagaku Kaishi (**1986**), (12), 1754-57
CODEN: NKAKB8; ISSN: 0369-4577
DT Journal
LA Japanese
CC 78-5 (Inorganic Chemicals and Reactions)
OS CASREACT 106:130551
AB Sb(NCO)3 was prepared by the reaction of SbCl3 with **NaOCN** in the presence of several additives in benzene and THF. The reaction was accelerated remarkably by using THF as an additive in benzene to give Sb(NCO)3 in high yield. Sb(NCO)3 reacted with amines such as NHEt2, BuNH2, PhH2, and NH3 to afford only the corresponding triureidoantimony compds., but reacted with alcs. such as iso-PrOH, BuOH, sec- and tert-BuOH or PhOH to yield the corresponding carbamate and trialkoxo- or triphenoxyantimony compds. Sb(NCO)3 reacted also with 2-diethylaminoethanol (HL) to give SbL3 and 2-diethylaminoethyl carbamate, together with isocyanuric acid. Sb(NCO)3 reacted with alcs. and PhOH to yield the corresponding substituted products, but the reaction with amines provided only the corresponding addition products.

ST antimony **isocyanate** prepn reactivity; **isocyanate** antimony prepn reactivity; amine reaction antimony **isocyanate**; alc reaction antimony **isocyanate**; **sodium cyanate** reaction antimony chloride; stibine trichloro reaction **sodium cyanate**

IT Alcohols, reactions
Amines, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with antimony **triisocyanate** in benzene)

IT 67-64-1, Acetone, uses and miscellaneous 68-12-2, uses and miscellaneous **75-05-8**, uses and miscellaneous 75-52-5, Nitromethane, uses and miscellaneous **109-99-9**, uses and miscellaneous 123-91-1, uses and miscellaneous 141-78-6, Ethyl acetate, uses and miscellaneous
RL: USES (Uses)
(antimony trichloride reaction with **sodium cyanate** in benzene containing, antimony **triisocyanate** formation in relation to)

IT 108-20-3, Diisopropyl ether 680-31-9, reactions 4067-16-7, Pentaethylenehexamine 25322-68-3, Polyethylene glycol 26027-38-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(antimony trichloride reaction with **sodium cyanate** in benzene containing, antimony **triisocyanate** formation in relation to)

IT 17455-13-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(antimony trichloride reaction with **sodium cyanate** in benzene or THF containing, antimony **triisocyanate** formation in relation to)

IT **86893-88-1P**, Antimony **triisocyanate**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and reactivity of)

IT 592-35-8P, Butyl carbamate 2155-74-0P, Antimony tributoxide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with Bu alc. in benzene)

IT 107320-93-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with ammonia in benzene)

IT 107320-92-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with aniline in benzene)

IT 107320-91-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with butylamine)

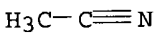
IT 107320-90-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with diethylamine in benzene)

IT 108-80-5P, Isocyanuric acid **60743-30-8P**, 2-Diethylaminoethyl carbamate **107295-94-3P**, Tris(2-diethylaminoethoxo)antimony
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of, by reaction of antimony **triisocyanate** with diethylaminoethanol)

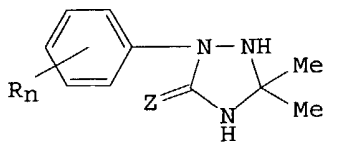
IT 1746-77-6P, Isopropyl carbamate 18770-47-3P, Antimony triisopropoxide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with iso-Pr alc. in benzene)

IT 622-46-8P, Phenylcarbamate 16484-27-8P, Antimony triphenoxide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with phenol

in benzene)
IT 2114-15-0P, sec-Butyl carbamate 93913-73-6P, Antimony tri-sec-butoxide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with sec-Bu alc. in benzene)
IT 4248-19-5P, tert-Butyl carbamate 10433-03-1P, Antimony tri-tert-butoxide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by reaction of antimony **triisocyanate** with tert-Bu alc. in benzene)
IT **917-61-3**, **Sodium cyanate**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with antimony trichloride in benzene, effect of additives on antimony **triisocyanate** formation in)
IT 62-53-3, Aniline, reactions 67-63-0, Isopropyl alcohol, reactions 71-36-3, reactions 75-65-0, tert-Butyl alcohol, reactions 78-92-2, sec-Butyl alcohol **100-37-8**, 2-(Diethylamino)ethanol 108-95-2, reactions 109-73-9, reactions 109-89-7, reactions 7664-41-7, Ammonia, reactions
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(reaction of, with antimony **triisocyanate** in benzene)
IT 10025-91-9, Antimony chloride (SbCl3)
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with **sodium cyanate** in benzene, effect of additives on antimony **triisocyanate** formation in)
IT **75-05-8**, uses and miscellaneous
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(antimony trichloride reaction with **sodium cyanate** in benzene containing, antimony **triisocyanate** formation in relation to)
RN 75-05-8 HCAPLUS
CN Acetonitrile (8CI, 9CI) (CA INDEX NAME)



L155 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1984:571174 HCAPLUS
DN 101:171174
ED Entered STN: 10 Nov 1984
TI 2-Aryl-5,5-dimethyl-1,2,4-triazolidin-3-one derivatives
AU Schantl, J.; Hebeisen, P.
CS Inst. Org. Pharm. Chem., Univ. Innsbruck, Innsbruck, A-6020, Austria
SO Scientia Pharmaceutica (**1983**), 51(4), 379-90
CODEN: SCPHA4; ISSN: 0036-8709
DT Journal
LA German
CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
GI



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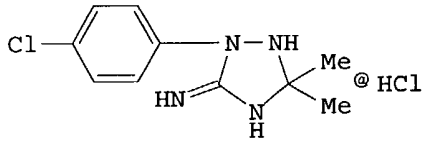


II

AB RnC6H5-nNHN:CMe2 [Rn = H, 4-Cl, 3,4-Cl2, 4-Me(CH2)5O, 4-O2N] reacted with KZCN (Z = O, S) in AcOH to give the corresponding triazolidinones I (Z = O) or -thiones I (Z = S). Although I (Z = S) have antiinflammatory and

analgesic properties I (Z = O) had no noteworthy activity. RnC6H5-nN:NCMe2N:C:Z, the acyclic oxidation products of I, can be used for further syntheses. H2NCN was added to 4-ClC6H4NHN:CMe2.HCl to give iminotriazolidine II which on oxidative ring cleavage gave 4-ClC6H4N:NCMe2NHCN.

ST acetone hydrazone cyclization **cyanate thiocyanate**; triazolidinone prepn oxidn; triazolidinethione prepn oxidn; cyanamide addn hydrazone

IT Analgesics
Inflammation inhibitors and Antiarthritics
(triazolidinethiones)

IT 18440-33-0 28359-16-2 91027-26-8 91027-27-9 91027-28-0 91027-29-1 91027-30-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis or ammonolysis of)

IT 103-02-6 1200-11-9 5877-04-3 28359-15-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosgenation and ammonolysis of, or reaction with **potassium cyanate** or potassium **thiocyanate**)

IT 91027-31-5P 91027-32-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and hydration of)

IT 18440-37-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

IT 24648-29-1P 39263-68-8P 59395-36-7P 59395-39-0P 72731-37-4P 72731-38-5P 73150-88-6P 91027-23-5P 91027-24-6P 91027-25-7P 91027-37-1P 91027-38-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

IT 91027-18-8P 91027-19-9P 91027-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with **potassium cyanate** or **thiocyanate**)

IT **91027-36-0P**
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of)

IT 50-01-1 108-00-9 **108-01-0** 124-02-7 91027-33-7 91027-34-8
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(reaction of, with (arylazo)**isocyanatopropane**)

IT 1073-70-7 19763-90-7 91027-17-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acetone)

IT 420-04-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acetone (chlorophenyl) hydrazone)

IT 333-20-0 **590-28-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acetone phenylhydrazones)

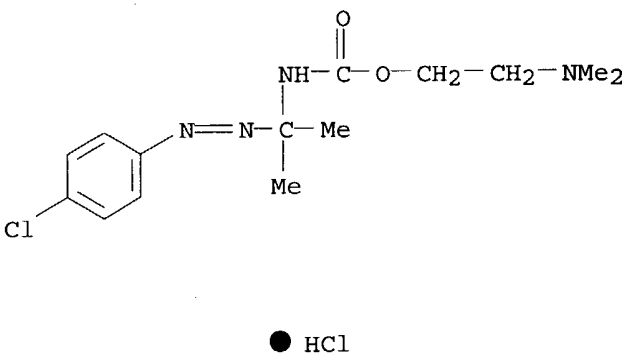
IT 91027-35-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with guanidine)

IT 53670-11-4 57883-13-3 91027-21-3 91027-22-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with **potassium cyanate** or **thiocyanate**)

IT **91027-36-0P**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(preparation of)

RN 91027-36-0 HCAPLUS

CN Carbamic acid, [1-[(4-chlorophenyl)azo]-1-methylethyl]-, 2-(dimethylamino)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L155 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1984:209515 HCAPLUS
DN 100:209515
ED Entered STN: 23 Jun 1984
TI 1-Sulfo-2-azetidinone derivatives
IN Kishimoto, Shoji; Matsuo, Taisuke; Ochiai, Michihiko
PA Takeda Chemical Industries, Ltd. , Japan
SO Eur. Pat. Appl., 186 pp.
CODEN: EPXXDW
DT Patent
LA English
IC C07D205-08; C07D417-12; C07D417-14; C07D401-06; C07D413-14; C07D277-40; C07D277-42; A61K031-365; A61K031-42; A61K031-425
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 10, 63
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 93376	A2	19831109	EP 1983-104061	19830426 <--
	EP 93376	A3	19861015		
	EP 93376	B1	19900321		
	EP 93376	B2	19990407		
	R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
	JP 58189176	A2	19831104	JP 1982-73728	19820430 <--
	JP 63034155	B4	19880708		
	JP 58210061	A2	19831207	JP 1982-93463	19820531 <--
	JP 04066865	B4	19921026		
	AU 8313445	A1	19831103	AU 1983-13445	19830412 <--
	AU 564150	B2	19870806		
	ZA 8302742	A	19831228	ZA 1983-2742	19830419 <--
	GB 2124207	A1	19840215	GB 1983-10520	19830419 <--
	GB 2124207	B2	19861008		
	AT 51223	E	19900415	AT 1983-104061	19830426 <--
	DK 8301889	A	19831031	DK 1983-1889	19830428 <--
	DK 161832	B	19910819		
	DK 161832	C	19920120		
	FI 8301457	A	19831031	FI 1983-1457	19830428 <--
	SU 1480763	A3	19890515	SU 1983-3590552	19830428 <--
	NO 8301514	A	19831031	NO 1983-1514	19830429 <--
	NO 160581	B	19890123		
	NO 160581	C	19890503		
	HU 30672	O	19840328	HU 1983-1486	19830429 <--
	HU 194876	B	19880328		

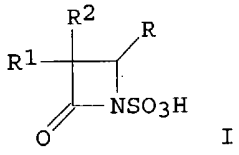
	DD 212510	A5	19840815	DD 1983-250410	19830429 <--
	DD 212510	B3	19891108		
	ES 521954	A1	19850516	ES 1983-521954	19830429 <--
	DD 236930	A5	19860625	DD 1983-280483	19830429 <--
	HU 199115	B	19900129	HU 1988-2264	19830429 <--
	US 4572801	A	19860225	US 1983-499801	19830531 <--
	ES 528562	A1	19860601	ES 1983-528562	19831230 <--
	DD 232490	A5	19860129	DD 1984-267015	19840905 <--
	GB 2156350	A1	19851009	GB 1985-9070	19850409 <--
	GB 2156350	B2	19860604		
	ES 543809	A1	19860901	ES 1985-543809	19850601 <--
	SU 1380612	A3	19880307	SU 1985-3909204	19850620 <--
	ES 551942	A1	19871016	ES 1986-551942	19860213 <--
	JP 62215586	A2	19870922	JP 1987-28496	19870210 <--
	JP 03021542	B4	19910322		
	NO 8700981	A	19831031	NO 1987-981	19870310 <--
	FI 8801563	A	19880405	FI 1988-1563	19880405 <--
PRAI	JP 1982-73728		19820430 <--		
	JP 1982-93463		19820531 <--		
	WO 1981-WO103		19810430 <--		
	WO 1981-WO183		19810821 <--		
	WO 1981-WO252		19810924 <--		
	US 1981-326938		19811203 <--		
	US 1982-405592		19820805 <--		
	GB 1983-10520		19830419 <--		
	EP 1983-104061		19830426 <--		
	FI 1983-1457		19830428 <--		
	NO 1983-1514		19830429 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES		
EP 93376	IC	C07D205-08IC	C07D417-12IC	C07D417-14IC
		C07D401-06IC	C07D413-14IC	C07D277-40IC
		C07D277-42IC	A61K031-365IC	A61K031-42IC
		A61K031-425		

OS CASREACT 100:209515

GI



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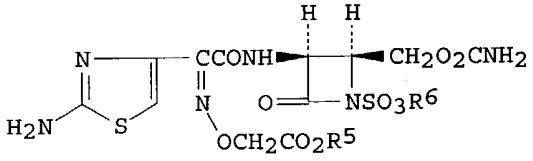


II

AB The title compds. I [R = COR3, (CH2)nR4, N-containing heterocyclyl; R1 = (un)acylated or (un)protected amino group; R2 = H, MeO; R3 = (un)protected or (un)substituted NH2, (un)protected OH; R4 = H, halo, NHCONH2, NHCONHSO3H, CONH2, O2CNH2, O2CNHSO3H, alkylsulfonyloxy, pyridinio, alkoxy, alkylsulfinyl, -sulfonyl, haloalkylcarbonyloxy, OH, alkoxycarbonyl, acyloxy, alkoxyiminoalkyl, alkylcarbonyl, acylamine; n = 1-3] or their salts or esters, with improved antimicrobial and β-lactamase inhibitory activity, were prepared Thus, sulfonating (3S,4S)-cis-3-benzyloxycarboxamido-4-carbamoyloxymethyl-2-azetidinone in dioxane with SO3-pyridine complex at room temperature 14 h and converting the product to the Na salt gave 64% Na (3S,4S)-cis-3-benzyloxycarboxamido-4-carbamoyloxymethyl-2-acetidinone-1-sulfonate. Hydrogenolysis of the latter removed the amino protective group and the product was acylated with a substituted acetyl chloride-HCl and then hydrolyzed with MeNHCO2Na to give 76% acetamidoazetidinonesulfonate (3S,4S)-cis-(Z)-II (R5 =

4-O2NC6H4CH2, R6 = Na). This was deprotected by room temperature hydrogenolysis to give 61% (3S,4S)-cis-(Z)-II (R5 = R6 = H) (III). III had a min. inhibitory concentration of 0.05 μg/mL against Enterobacter cloacae IFO 12937 and Klebsiella pneumoniae TN 1711 and 1.56 μg/mL against Pseudomonas aeruginosa GN 3407.

ST bactericide azetidinonesulfonate prepn; sulfoazetidinone bactericide prepn

IT Bactericides, Disinfectants, and Antiseptics
(sulfoazetidinone derivs.)

IT **83175-92-2**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(Wittig methylenation of)

IT 501-53-1 84186-87-8 84208-30-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of aminoazetidinone derivative)

IT 84208-37-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of aminoazetidinone derivs.)

IT 65243-22-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of aminoazetidinones)

IT 24424-99-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of aminoazetidione derivative)

IT 76903-12-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of glycine derivative)

IT 41295-64-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of nitrobenzyl alc.)

IT **22818-40-2**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(acylation of, with chromonecarbonyl chloride derivative)

IT 16869-24-2 23877-12-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation by, of (hydroxyimino)acetate)

IT 64485-82-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of, by bromopropionate ester)

IT **1668-10-6**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(amidation by, of azetidinonecarboxylic acid)

IT **79656-47-6**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(amidation of, by aminoazetidinone derivative)

IT **84208-16-2**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(amidation of, by glycine amide)

IT **90121-74-7 90121-75-8 90121-76-9**
90121-77-0 90121-78-1 90121-79-2
90121-80-5 90192-20-4 90192-21-5
90192-22-6 90192-23-7 90192-24-8
90242-01-6 90242-02-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)

IT 61964-78-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(butoxycarbonylation of and conversion to tartrate salt)

IT 5470-11-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with (acetyloxopropyl)azetidinone derivative)

IT 371-62-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with dimethoxybenzylamine and phthaloylglycine chloride)

IT 6780-38-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with fluoroethanol and dimethoxybenzylamine)

IT 20781-20-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with fluoroethanol and phthaloylglycine chloride)

IT 83422-65-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with hydroxylamine)

IT 62-56-6, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with nitrobenzyl (hydroxyimino)chloroacetoacetate)

IT **90121-41-8**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(deprotection and acylation of, with acetyl chloride derivative)

IT 120-78-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification by, of (methoxyimino)acetic acid derivative)

IT 619-73-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with chloroacetoacetyl chloride)

IT 76134-87-7 76134-88-8 90121-52-1 90121-53-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation of)

IT **84209-04-1**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(hydrogenolysis of)

IT 84186-82-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)

IT 88792-29-4P 90121-58-7P 90121-66-7P **90192-19-1P**
RL: RCT (Reactant); **SPN (Synthetic preparation); PREP (Preparation)**; RACT (Reactant or reagent)
(preparation and acylation of, with acetyl chloride derivative)

IT **87638-04-8P 88852-08-8P 90121-38-3P 90192-08-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; BIOL (Biological study); **PREP (Preparation)**
(preparation and antibacterial activity of)

IT **90121-48-5P 90192-25-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

IT 90192-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and benzyloxycarbonylation of)

IT 90121-64-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and blocking of, carbobenzoxy chloride)

IT **90192-26-0P**
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation and conversion of, to sodium salt)

IT **90121-84-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to sodium salt)

IT **86832-68-0P**
RL: PRP (Properties); **SPN (Synthetic preparation); PREP**

(Preparation)
(preparation and crystal structure of)
IT 90121-72-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with thiourea)
IT **86299-59-4P 86334-64-7P** 89605-11-8P 90121-17-8P 90121-65-6P **90121-70-3P**
RL: **RCT (Reactant)**; **SPN (Synthetic preparation)**; **PREP (Preparation)**; **RACT (Reactant or reagent)**
(preparation and debenzylation of)
IT **86299-42-5P** 86791-57-3P **89604-55-7P** **90121-42-9P** 90121-45-2P **90121-54-3P** **90192-10-2P 90192-12-4P**
RL: **RCT (Reactant)**; **SPN (Synthetic preparation)**; **PREP (Preparation)**; **RACT (Reactant or reagent)**
(preparation and deprotection of)
IT **90121-59-8P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and desilylation of)
IT 86299-57-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and ester cleavage of)
IT **74440-02-1P 86299-47-0P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and esterification of, with benzothiazolyl disulfide)
IT **86299-58-3P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and esterification of, with dithiobisbenzothiazole)
IT 90121-57-6P 90121-63-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrazinolysis of)
IT 90121-24-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)
IT 88124-54-3P 90121-26-9P **90121-37-2P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and hydrogenolysis of)
IT **90121-47-4P 90121-61-2P 90121-69-0P** **90121-83-8P 90192-16-8P**
RL: **RCT (Reactant)**; **SPN (Synthetic preparation)**; **PREP (Preparation)**; **RACT (Reactant or reagent)**
(preparation and hydrolysis of)
IT **122645-63-0P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and mesylation of)
IT **90121-28-1P 90121-82-7P** 90192-06-6P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and oxidation of)
IT 90121-71-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oximation of)
IT 90121-56-5P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and protection of, with silyl chloride derivative)

IT 86299-52-7P 89605-09-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminoazetidinone derivative)

IT **86334-63-6P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with chlorosulfonyl **isocyanate**)

IT **90121-39-4P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with **potassium cyanate**)

IT 90121-73-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with tert-Bu bromoacetate)

IT 61964-79-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

IT 86299-46-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

IT **84209-18-7P 90121-36-1P 90121-60-1P**
90121-68-9P 90121-81-6P 90192-15-7P
RL: **RCT (Reactant)**; **SPN (Synthetic preparation)**; **PREP (Preparation)**; **RACT (Reactant or reagent)**
(preparation and sulfonation of)

IT 89604-92-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation by, of aminoazetidione derivative)

IT **84209-05-2P 88852-06-6P**
RL: **RCT (Reactant)**; **SPN (Synthetic preparation)**; **PREP (Preparation)**; **RACT (Reactant or reagent)**
(preparation and N-acylation of, by acetyl chloride derivative)

IT 90121-27-0P 90121-46-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation of, with acetyl chloride derivative)

IT 69284-11-3P **82935-61-3P 84187-15-5P**
90121-15-6P 90121-18-9P **90121-19-0P**
90121-20-3P 90121-25-8P **90121-29-2P**
90121-30-5P 90121-31-6P 90121-32-7P
90121-33-8P 90121-40-7P 90121-43-0P
90121-49-6P 90121-51-0P 90121-55-4P
90121-62-3P 90192-07-7P **90192-11-3P**
90192-13-5P 90192-17-9P 90244-80-7P
122645-64-1P
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**
(preparation of)

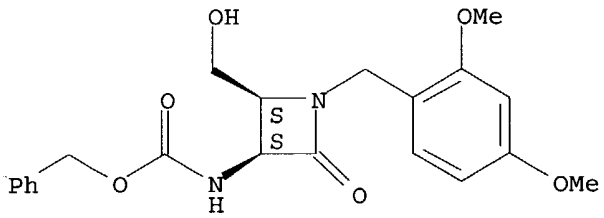
IT **86334-65-8P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation, sulfonation, and hydrogenolysis of)

IT 18162-48-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(protection by, of azetidinone derivs.)

IT **590-28-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (aminomethyl)azetidinone derivative)

IT 1189-71-5 2605-67-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (hydroxymethyl)azetidinone derivative)
IT 86299-56-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromoacetate)
IT **83175-92-2**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(reaction of, with chlorosulfonyl **isocyanate** and debenzylation of)
IT 5292-43-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxyiminoacetate derivative)
IT 90121-16-7 **90121-50-9**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(reaction of, with **potassium cyanate**)
IT 90121-44-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with pyridine)
IT 84187-90-6 90192-18-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)
IT 9073-60-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(sulfoazetidinones as inhibitors of)
IT **90121-34-9**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(sulfonation and hydrolysis of)
IT **86299-43-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation of, by benzothiazolyl thioacetate derivative)
IT **88792-25-0**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(O-methylation of)
IT **83175-92-2**
RL: **RCT (Reactant); RACT (Reactant or reagent); PREP (Preparation); RACT (Reactant or reagent); PREP (Preparation)**
(Wittig methylenation of)
RN 83175-92-2 HCAPLUS
CN Carbamic acid, [1-[(2,4-dimethoxyphenyl)methyl]-2-(hydroxymethyl)-4-oxo-3-azetidinyl]-, phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L155 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1979:419926 HCAPLUS
DN 91:19926
ED Entered STN: 12 May 1984
TI N-Substituted carbamates
IN Chung, Rack H.
PA BASF Wyandotte Corp., USA

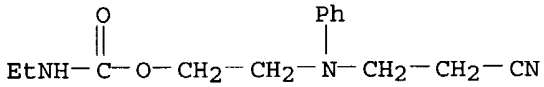
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
IC C07C125-04
NCL 260465000D
CC 23-20 (Aliphatic Compounds)
Section cross-reference(s): 25
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4147716	A	19790403	US 1978-912461	19780605 <--
PRAI US 1978-912461		19780605 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4147716	IC	C07C125-04
	NCL	260465000D

AB The title compds. were prepared by the reaction of RX (R = alkyl, alkenyl, aralkyl, aralkenyl; X = halo) with alkali **cyanates** and monohydric and polyhydric alcs. (not aromatic) at 65-100° in sulfolane. Thus, **KOCN** in sulfolane was heated to 90°, EtBr was added in 1.5 h, PhN(CH2CH2CN)CH2CH2OH in sulfolane was added, and the mixture was heated 3 h at 90° and worked up to give EtNHCO2CH2CH2N(CH2CH2CN)Ph.
ST alkylcarbamate anilinoethyl; alc alkali **cyanate** haloalkane alkylcarbamate
IT **63216-95-5P 70489-11-1P**
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of)
IT **92-64-8**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(reaction with alkyl halides and **potassium cyanate**, carbamate esters from)
IT **590-28-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with anilinoethanol derivative and alkali halides, carbamate esters, from)
IT 74-96-4 75-00-3 106-94-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with **potassium cyanate** and anilinoethanol derivative, carbamate ester from)
IT **63216-95-5P**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(preparation of)
RN 63216-95-5 HCAPLUS
CN Carbamic acid, ethyl-, 2-[(2-cyanoethyl)phenylamino]ethyl ester (9CI) (CA INDEX NAME)



L155 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:170147 HCAPLUS
DN 88:170147
ED Entered STN: 12 May 1984
TI 1-Methyl-2-(carbamyloxymethyl)-5-nitroimidazole
PA FARCHEMIA di Martino Finotto e C. S.a.S., Italy
SO Belg., 9 pp.

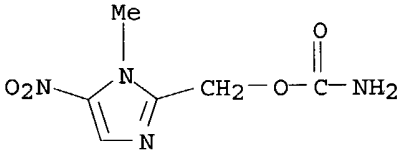
CODEN: BEXXAL
DT Patent
LA French
IC C07D
CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 855188	A1	19770916	BE 1977-55955	19770531 <--
	FR 2389609	A1	19781201	FR 1977-16498	19770531 <--
	FR 2389609	B1	19800711		
	NL 7706061	A	19781107	NL 1977-6061	19770602 <--
	NL 175298	B	19840528		
	NL 175298	C	19841016		
PRAI	IT 1977-23102		19770503 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
BE 855188	IC	C07D

AB The title compound was obtained in 93% yield by treating 1-methyl-2-hydroxymethyl-5-nitroimidazole with 1-carbamoylimidazole.
ST carbamoyloxymethylimidazole; imidazole carbamoyloxymethyl
IT **7681-76-7P**
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of)
IT **936-05-0**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(reaction of, with carbamoylimidazole)
IT 2578-41-8 66339-05-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxymethylimidazole derivative)
IT 616-47-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with **potassium cyanate** and hydroxymethylimidazole derivative)
IT **7681-76-7P**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(preparation of)
RN 7681-76-7 HCAPLUS
CN 1H-Imidazole-2-methanol, 1-methyl-5-nitro-, carbamate (ester) (9CI) (CA INDEX NAME)



L155 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:458900 HCAPLUS
DN 83:58900
ED Entered STN: 12 May 1984
TI 2,3-Benzoxazepine derivatives
IN Pifferi, Giorgio; Omodei-Sale, Amedeo; Consonni, Pietro
PA Gruppo Lepetit S.p.A., Italy
SO Can., 15 pp.
CODEN: CAXXA4
DT Patent
LA English

CC 28-24 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 959054	A1	19741210	CA 1972-137695	19720321 <--
PRAI	CA 1972-137695		19720321 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
CA 959054		

GI For diagram(s), see printed CA Issue.

AB Antiinflammatory (no data) benzoxazepines I (R = alkyl, alkenyl, carbamoyl, acyl, alkoxycarbonyl) (26 compds.) were prepared in 39-91% yield by a) methylation of I (R = H), b) alkylation of I (R = H) with alkyl and alkenyl halides, c) acylation of I (R = H) with acyl halides, d) treatment of I (R = H) with **isocyanates** and **isothiocyanates**, and e) treatment of I (R = COCl) with alkylamines, morpholine, pyrrolidines, and piperazines. The cycloaddn. of 2-BrCH2C6H4CH2CH2Br with KONHCO2Et gave 79% I (R = CO2Et) which was hydrolyzed-decarboxylated to 74% I (R = H). I (R = COCl) was obtained in 81.5% yield by treating I (R = H) with COCl2. Also I were central nervous system depressants.

ST benzoxazepine central depressant antiinflammatory; carbamoylbenzoxazepine; alkylation benzoxazepine; acylation benzoxazepine

IT Inflammation inhibitors
(benzoxazepines as)

IT Nervous system
(depressant for central, benoxazepines as)

IT Cycloaddition reaction
(of (bromomethyl)phenethyl bromide with hydroxyurethane, benzoxazepine by)

IT Acylation
Alkylation
(of benzoxazepine)

IT 79-04-9 79-44-7 83-01-2 88-10-8 590-21-6 3350-78-5 4521-61-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of tetrahydrobenzoxazepine)

IT 78-77-3 106-95-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation by, of tetrahydrobenzoxazepine)

IT 56190-13-7
RL: PROC (Process)
(cycloaddn. of, with (bromomethyl)phenethyl bromide)

IT 35040-86-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis-decarboxylation of)

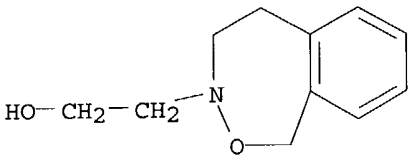
IT 38090-29-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)

IT **35040-43-8P** 35040-44-9P **35040-45-0P** 35040-46-1P
35040-48-3P 35040-49-4P 35040-50-7P 35040-51-8P 35040-87-0P
35040-88-1P 35040-89-2P **38090-25-4P** 38090-28-7P
38090-30-1P 38090-36-7P 38090-37-8P 38090-38-9P 38090-39-0P
38090-40-3P 38090-41-4P 38090-42-5P 38090-43-6P 38090-44-7P
38090-45-8P 38090-46-9P 38090-47-0P 38090-48-1P
RL: **SPN (Synthetic preparation); PREP (Preparation)**
(preparation of)

IT 556-61-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (hydroxyethyl)tetrahydrobenzoxazepine)

IT 38256-56-3
RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with hydroxyurethane)
IT 103-71-9 624-83-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tetrahydrobenzoxazepine)
IT 92-54-6 100-36-7 109-01-3 110-91-8 123-75-1 124-02-7 124-40-3, reactions **30381-48-7**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(reaction of, with tetrahydrobenzoxazepinecarbonyl chloride)
IT **917-61-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tetrahydrobenzoxazepineethanol)
IT 75-21-8, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(with tetrahydrobenzoxazepine)
IT **35040-43-8P**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(preparation of)
RN 35040-43-8 HCAPLUS
CN 2,3-Benzoxazepine-3(1H)-ethanol, 4,5-dihydro- (9CI) (CA INDEX NAME)



L155 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:125095 HCAPLUS
DN 82:125095
ED Entered STN: 12 May 1984
TI 2-Alkylaminobenzophenones
IN Welstead, William J., Jr.; Stauffer, Harold F., Jr.
PA A. H. Robins Co., Inc.
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
IC C07D
NCL 260482000C
CC 25-16 (Noncondensed Aromatic Compounds)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3846477	A	19741105	US 1972-290568	19720920 <--
PRAI US 1972-290568		19720920 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 3846477	IC	C07D
	NCL	260482000C

GI For diagram(s), see printed CA Issue.
AB 5-Chloro-2-(tosylamido)benzophenone was treated with substituted alkyl halides and NaH to give the aminobenzophenones (I, R = H, CH2OH). Similarly prepared were the following II (n and R given): 1, H; 2, Me. N-methylation and N-acylation of the I gave 5,2-Cl[HOCH2CH(OH)CH2NMe]C6H3COPh and 5,2-Cl[HO(CH2)2N(CO2Et)]C6H3COPh which demonstrated tranquilizer activity.
ST benzophenone hydroxyalkylamino tranquilizer; tranquilizer

hydroxyalkylaminobenzophenone
IT Tranquilizers
([(hydroxyalkyl)amino]benzophenones)
IT 541-41-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation of [(hydroxyethyl)amino]benzophenone derivative by)
IT 79-44-7 **917-61-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-carbamoylation of [(hydroxyethyl)amino]benzophenone derivative by)
IT **54524-10-6P** 54524-12-8P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and N-methylation of, by formic acid-formaldehyde)
IT **33108-34-8P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and O-carbamoylation of)
IT 54524-08-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
IT **54524-13-9P 54524-15-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and tranquilizer activity of)
IT 54524-09-3P 54524-11-7P 54524-14-0P **54524-16-2P**
54524-17-3P
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**
(preparation of)
IT 96-24-2 540-51-2 13999-24-1 51337-32-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (tosylamido)benzophenone derivative)
IT 4873-59-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with alkyl halides)
IT **917-61-3**
RL: **SPN (Synthetic preparation)**; **PREP (Preparation)**; **RACT (Reactant or reagent)**
(O-carbamoylation of [(hydroxyethyl)amino]benzophenone derivative by)
RN 917-61-3 HCAPLUS
CN Cyanic acid, sodium salt (8CI, 9CI) (CA INDEX NAME)

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L157 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:182532 HCAPLUS
DN 140:235607
ED Entered STN: 05 Mar 2004
TI Preparation of 4-benzoylpiperidine derivatives for treatment of psychosis and cognition disorders
IN Choi, Yong-moon; Kim, Yong-kil; Yoo, Jin-uk; Paek, Eun-ah; Park, Chun-eung; Seo, Sung-yong; Chung, Coo-min; Heo, Joon
PA SK Corp., USA
SO U.S. Pat. Appl. Publ., 30 pp.

CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-4709
ICS A61K031-4545; A61K031-453; C07D041-02; C07D049-02
NCL 514314000; 514317000; 514318000; 514326000; 546176000; 546194000; 546225000; 546207000
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

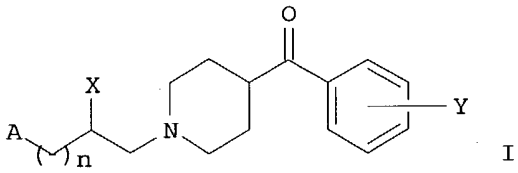
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004044033	A1	20040304	US 2002-228869	20020826
US 6770659	B2	20040803		
WO 2004018423	A1	20040304	WO 2003-KR1665	20030819

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-228869 A 20020826
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004044033	ICM	A61K031-4709
	ICS	A61K031-4545; A61K031-453; C07D041-02; C07D049-02
	NCL	514314000; 514317000; 514318000; 514326000; 546176000; 546194000; 546225000; 546207000

OS MARPAT 140:235607
GI



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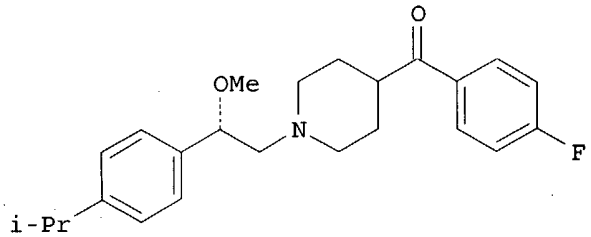

II

AB The title compds. I [wherein n = 0-2; A = thienyl, naphthyl, pyridyl, quinolyl, or (un)substituted Ph; X = O-carbamoyl, alkoxy, imidazolyl, triazolyl, tetrazolyl, or carbonate; Y = H, halo, alkyl, or alkoxy] or racemic or enantiomerically enriched isomers, or pharmaceutically acceptable salts thereof are prepared For example, 4-(4-

fluorobenzoyl)piperidine was reacted with (S)-4-isopropylstyrene oxide in isopropanol, followed by the addition of MsCl, Et3N, and MeOH to give II. II showed ED50 of 0.13 mg/kg as an antipsychotic agent in rat. I are useful for the treatment of central nervous system diseases in a mammal, in particular psychosis and cognition disorders.

ST benzoyl piperidine treatment psychosis cognition disorder prepn

IT Mental disorder
(cognitive; preparation of 4-benzoylpiperidine derivs. for treatment of psychosis and cognition disorders)

IT Cognition
(disorder; preparation of 4-benzoylpiperidine derivs. for treatment of psychosis and cognition disorders)

IT Antipsychotics
(preparation of 4-benzoylpiperidine derivs. for treatment of psychosis and cognition disorders)

IT Mental disorder
(psychosis; preparation of 4-benzoylpiperidine derivs. for treatment of psychosis and cognition disorders)

IT **666858-06-6P 666858-07-7P 666858-08-8P**
666858-09-9P 666858-10-2P 666858-11-3P 666858-12-4P 666858-13-5P
666858-14-6P 666858-15-7P 666858-16-8P 666858-17-9P 666858-18-0P
666858-19-1P 666858-20-4P 666858-21-5P 666858-22-6P 666858-23-7P
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666858-70-4P 666858-71-5P 666858-73-7P 666858-75-9P 666858-77-1P
666858-79-3P 666858-81-7P 666858-83-9P 666858-85-1P 666858-87-3P
666858-89-5P 666858-91-9P 666858-93-1P 666858-95-3P 666858-97-5P
666858-99-7P 666859-01-4P 666859-03-6P 666859-05-8P 666859-07-0P
666859-09-2P 666859-11-6P 666859-13-8P 666859-15-0P 666859-17-2P
666859-19-4P 666859-21-8P 666859-23-0P 666859-25-2P 666859-27-4P
666859-29-6P **666859-31-0P 666859-33-2P**
666859-35-4P 666859-37-6P 666859-39-8P 666859-41-2P
666859-43-4P 666859-45-6P 666859-47-8P 666859-49-0P 666859-51-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4-benzoylpiperidine derivs. for treatment of psychosis and cognition disorders)

IT 96-09-3, Styrene oxide 96-41-3, Cyclopentanol 100-39-0, Benzyl bromide 100-46-9, Benzylamine, reactions 100-51-6, Benzyl alcohol, reactions 107-08-4, 1-Iodopropane 108-95-2, Phenol, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-49-9 122-60-1, 1,2-Epoxy-3-phenoxypropane 123-75-1, Pyrrolidine, reactions 288-32-4, Imidazole, reactions 288-36-8, 1H-1,2,3-Triazole 288-88-0, 1H-1,2,4-Triazole 288-94-8, 1H-Tetrazole 542-69-8, 1-Iodobutane 1126-76-7 1855-36-3, 3,4-Dimethylstyrene oxide 2210-79-9, Glycidyl 2-methylphenyl ether 2211-94-1, Glycidyl 4-methoxyphenyl ether 2212-05-7, 4-Chlorophenyl glycidyl ether 2783-26-8, 2-Methylstyrene oxide 2783-28-0 2788-86-5, 4-Chlorostyrene oxide 3101-60-8, 4-tert-Butylphenyl glycidyl ether 5255-75-4, 4-Nitrophenyl glycidyl ether 6388-74-5, 4-Nitrostyrene oxide 13107-39-6, 4-Methylstyrene oxide 13692-15-4, Oxirane, (2,4-dichlorophenyl)- 18511-62-1, 4-Fluorostyrene oxide 20697-04-5, 3-Chlorostyrene oxide 20697-05-6, 3-Nitrostyrene oxide 20780-53-4, Oxirane, phenyl-, (2R)- 20780-54-5, (S)-Styrene oxide 20861-99-8 21019-51-2, Oxirane, (4-chlorophenyl)-, (2R)- 37586-22-4, 4-Benzoylpiperidine 52695-39-3 52909-94-1,

3,4-Dichlorostyrene oxide 53220-41-0, 4-(4-Chlorobenzoyl)piperidine 55967-94-7, 2-Oxiranylpyridine 56346-57-7, 4-(4-Fluorobenzoyl)piperidine 62717-50-4, 2-Chlorostyrene oxide 66256-03-9 71031-02-2 71031-03-3 74130-04-4 76362-12-4, 4-(4-Methoxybenzoyl)piperidine 78038-42-3, (S)-4-Nitrostyrene oxide 78038-43-4, (R)-4-Nitrostyrene oxide 93114-06-8 94829-51-3 97466-49-4, (S)-4-Chlorostyrene oxide 111991-14-1, 4-Trifluoromethylstyrene oxide 111991-17-4 146145-08-6 169272-14-4 169272-15-5 478538-76-0 586417-77-8 666859-62-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-benzoylpiperidine derivs. for treatment of psychosis and cognition disorders)

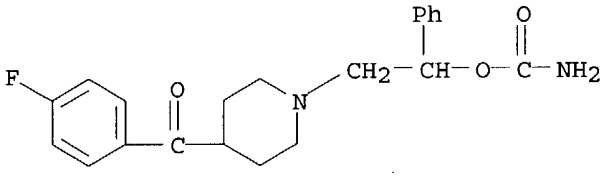
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) A Waverly co; Stedman's medical dictionary 1995, P362
(2) Anon; EP 409236 1991 HCAPLUS
(3) Anon; Bundgaard Design of prodrugs 1986, P7
(4) Davis; US 4415581 A 1983 HCAPLUS
(5) Gaudilliere; US 4711899 A 1987 HCAPLUS
(6) Helsley; US 4812456 A 1989 HCAPLUS
(7) Rae; US 5935974 A 1999 HCAPLUS
(8) Rae; US 6365604 B1 2002 HCAPLUS
(9) Wettlaufer; US 5114936 A 1992 HCAPLUS

IT **666858-06-6P 666858-07-7P 666858-08-8P 666859-31-0P 666859-33-2P 666859-35-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4-benzoylpiperidine derivs. for treatment of psychosis and cognition disorders)

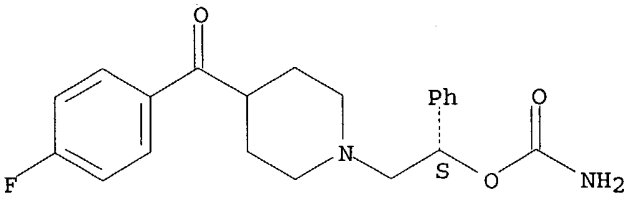
RN 666858-06-6 HCAPLUS
CN Methanone, [1-[2-[(aminocarbonyl)oxy]-2-phenylethyl]-4-piperidinyl](4-fluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 666858-07-7 HCAPLUS
CN Methanone, [1-[(2S)-2-[(aminocarbonyl)oxy]-2-phenylethyl]-4-piperidinyl](4-fluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

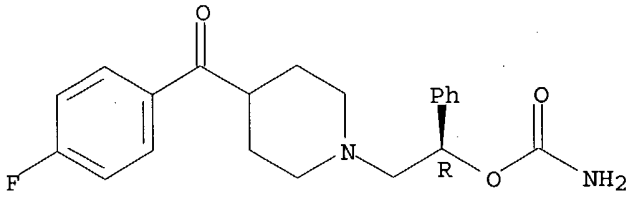
Absolute stereochemistry.



● HCl

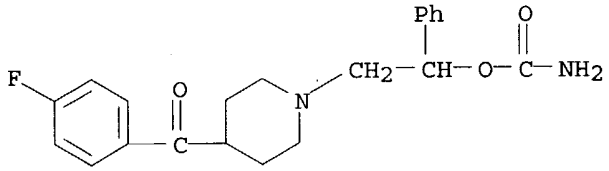
RN 666858-08-8 HCAPLUS
CN Methanone, [1-[(2R)-2-[(aminocarbonyl)oxy]-2-phenylethyl]-4-piperidinyl](4-fluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



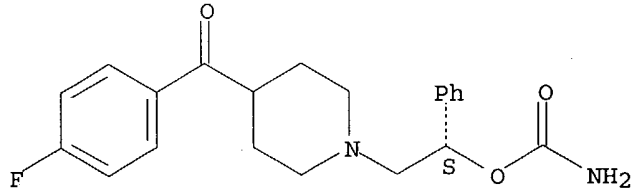
● HCl

RN 666859-31-0 HCAPLUS
CN Methanone, [1-[2-[(aminocarbonyl)oxy]-2-phenylethyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 666859-33-2 HCAPLUS
CN Methanone, [1-[(2S)-2-[(aminocarbonyl)oxy]-2-phenylethyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)

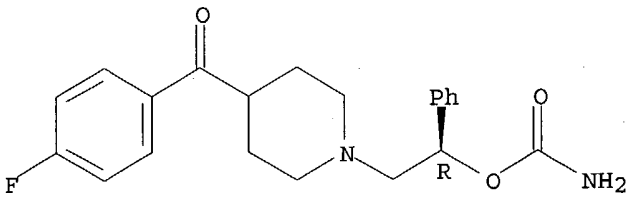
Absolute stereochemistry.



RN 666859-35-4 HCAPLUS
CN Methanone, [1-[(2R)-2-[(aminocarbonyl)oxy]-2-phenylethyl]-4-piperidinyl](4-

fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



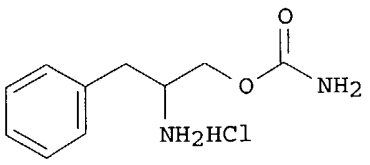
L157 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:410460 HCAPLUS
DN 125:87211
ED Entered STN: 16 Jul 1996
TI Preparation of O-(carbamoyl)phenylalaninol antidepressants
IN **Choi, Yong Moon**; Byun, Jai Kook
PA Yukong Limited, S. Korea
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C271-20
ICS C07C269-00
CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 25
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9607637	A1	19960314	WO 1995-KR114	19950906 <--
	W: AU, CA, CN, JP, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2176012	AA	19960314	CA 1995-2176012	19950906 <--
	CA 2176012	C	19990105		
	AU 9534856	A1	19960327	AU 1995-34856	19950906 <--
	AU 700544	B2	19990107		
	EP 728129	A1	19960828	EP 1995-931444	19950906 <--
	EP 728129	B1	19991103		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1135209	A	19961106	CN 1995-190875	19950906 <--
	CN 1069635	B	20010815		
	JP 09503231	T2	19970331	JP 1995-509386	19950906 <--
	RU 2104266	C1	19980210	RU 1996-113126	19950906 <--
	ES 2140703	T3	20000301	ES 1995-931444	19950906 <--
	US 5955499	A	19990921	US 1996-619657	19960701 <--
PRAI	KR 1994-22798	A	19940909 <--		
	WO 1995-KR114	W	19950906 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9607637	ICM	C07C271-20
	ICS	C07C269-00

GI



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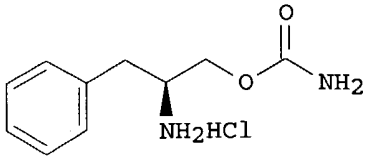

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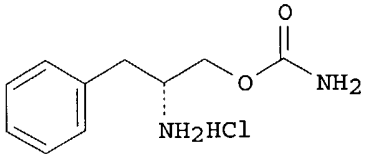


III

AB The title free-base compds. and their hydrochloride salts, I-III, useful in treating CNS diseases, particularly depression, are prepared by treating racemic, D-, or L-phenylalaninol with benzyl chloroformate in a basic aqueous solution to give the corresponding N-(benzyloxycarbonyl)phenylalaninol, reacting the intermediate with phosgene and then with an excess of a concentrated NH4OH aqueous solution to produce the corresponding O-carbamoyl-N-(benzyloxycarbonyl)phenylalaninol which is deprotected via hydrogenolysis, and the free base subjected to HCl salification. The free base of III was so prepared and demonstrated a 62% inhibition in the mouse forced-swimming depression model at 30 mg/kg (p.o.).

ST carbamoylphenylalaninol prepn antidepressant

IT Antidepressants
(O-(carbamoyl)phenylalaninols)

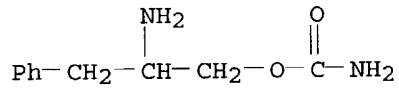
IT **178429-61-3P 178429-62-4P 178429-63-5P**
178429-64-6P 178429-65-7P 178429-66-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of O-(carbamoyl)phenylalinol antidepressants)

IT 75-44-5, Phosgene 501-53-1, Benzyl chloroformate 1336-21-6, Ammonium hydroxide **3182-95-4**, L-Phenylalaninol **5267-64-1**, D-Phenylalaninol **7647-01-0**, Hydrochloric acid, reactions **16088-07-6**, Phenylalaninol
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of O-(carbamoyl)phenylalinol antidepressants)

IT **178429-61-3P 178429-62-4P 178429-63-5P**
178429-64-6P 178429-65-7P 178429-66-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of O-(carbamoyl)phenylalinol antidepressants)

RN 178429-61-3 HCAPLUS

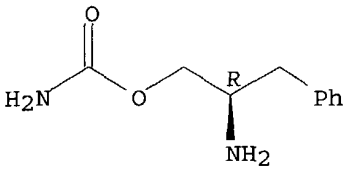
CN Benzenepropanol, β-amino-, carbamate (ester) (9CI) (CA INDEX NAME)



RN 178429-62-4 HCAPLUS

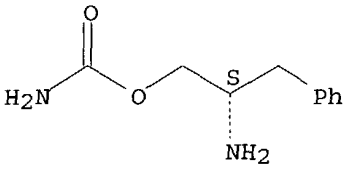
CN Benzenepropanol, β-amino-, carbamate (ester), (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

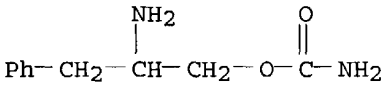


RN 178429-63-5 HCAPLUS
CN Benzenepropanol, β-amino-, carbamate (ester), (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



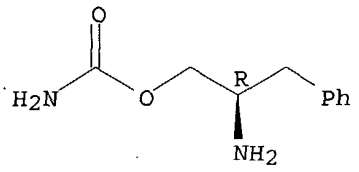
RN 178429-64-6 HCAPLUS
CN Benzenepropanol, β-amino-, carbamate (ester), monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 178429-65-7 HCAPLUS
CN Benzenepropanol, β-amino-, carbamate (ester), monohydrochloride, (R)- (9CI) (CA INDEX NAME)

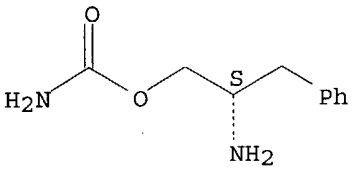
Absolute stereochemistry.



● HCl

RN 178429-66-8 HCAPLUS
CN Benzenepropanol, β-amino-, carbamate (ester), monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

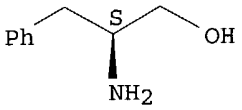


● HCl

IT **3182-95-4**, L-Phenylalaninol **5267-64-1**, D-Phenylalaninol **7647-01-0**, Hydrochloric acid, reactions **16088-07-6**, Phenylalaninol
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of O-(carbamoyl)phenylalinol antidepressants)

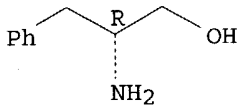
RN 3182-95-4 HCAPLUS
CN Benzenepropanol, β-amino-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 5267-64-1 HCAPLUS
CN Benzenepropanol, β-amino-, (βR)- (9CI) (CA INDEX NAME)

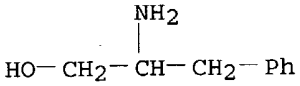
Absolute stereochemistry. Rotation (+).



RN 7647-01-0 HCAPLUS
CN Hydrochloric acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

HCl

RN 16088-07-6 HCAPLUS
CN Benzenepropanol, β-amino- (9CI) (CA INDEX NAME)



L157 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:57520 HCAPLUS
DN 110:57520
ED Entered STN: 17 Feb 1989
TI Preparation of N-containing heterocycles for treatment of cerebral disorders

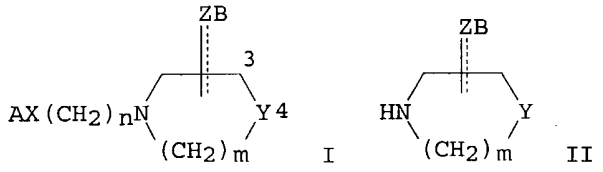
IN Sugimoto, Hachiro; Nakamura, Takaharu; Karibe, Norio; Saito, Isao; Higurashi, Kunizo; Yonaga, Masahiro; Kaneko, Takeru; Nakazawa, Takahiro; Ueno, Masataka; Yamatsu, Kiyomi
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
IC ICM C07D211-14
ICS C07D211-18; C07D211-22; C07D211-32; C07D211-70; C07D295-18; C07D401-06; C07D405-04; C07D409-04; A61K031-445; A61K031-47; A61K031-505
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8802365	A1	19880407	WO 1986-JP502	19860930
	W: AU, DK, FI, HU, JP, KR, NO, SU, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8664054	A1	19880421	AU 1986-64054	19860930
	AU 599339	B2	19900719		
	EP 288563	A1	19881102	EP 1986-905925	19860930
	EP 288563	B1	19940511		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	HU 53077	A2	19900928	HU 1986-5084	19860930
	AT 105550	E	19940515	AT 1986-905925	19860930
	US 4921863	A	19900501	US 1988-177662	19880217
	DK 8802737	A	19880519	DK 1988-2737	19880519
	FI 8802369	A	19880519	FI 1988-2369	19880519
	FI 90533	B	19931115		
	FI 90533	C	19940225		
	SU 1731048	A3	19920430	SU 1988-4355696	19880527
	NO 8802372	A	19880530	NO 1988-2372	19880530
	NO 175055	B	19940516		
	NO 175055	C	19940824		
PRAI	EP 1986-905925		19860930		
	WO 1986-JP502		19860930		

CLASS

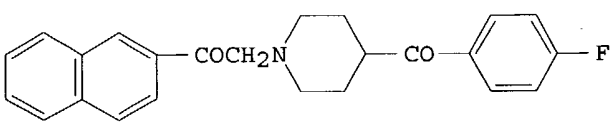
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 8802365	ICM	C07D211-14
	ICS	C07D211-18; C07D211-22; C07D211-32; C07D211-70; C07D295-18; C07D401-06; C07D405-04; C07D409-04; A61K031-445; A61K031-47; A61K031-505

OS CASREACT 110:57520; MARPAT 110:57520
GI



I

II



III

AB Title compds. I [A = (substituted) Ph, pyridyl, thienyl, (substituted) naphthyl, tetralyl, quinolyl, benzofuranyl, quinazolyl, benzothienyl, 1-oxo-1,2,3,4-tetrahydronaphthalen-2-yl, 1,2,3,4-tetrahydronaphthoquinon-2-yl; X = CH2CO, CHOH, CHMe, CHCH2NEt2; Y = C, N; Z = CH2, CO, CHOR1 (R1 = H, alkyl, acyl, aralkyl, heteroaralkyl, CHR2 (R2 = halo), CH, p-R2C6H4C, CHR3 (R3 = N-succinimidyl); Z is bonded at the 3 or 4 position; B = halo, alkyl, alkoxy, (mono- or disubstituted) Ph, naphthyl; m = 1-3; n = 0-4; dashed line = double bond], useful for treatment and prevention of mental disorders induced by apoplexy, cerebrosclerosis, and cerebroinfarct, are prepared from heterocycles II. A mixture of 2-bromo-2'-acetonaphthone, 4-(p-fluorobenzoyl)piperidine, HCl, KI and NaHCO3 in EtOH was refluxed to give III and III was converted to its HCl salt, which at 3 mg/kg p.o. showed 143% increase life span in ischemia-induced rats.

ST heterocycle nitrogen contg cerebral disorder; piperidine prepn treatment mental disorder

IT Mental disorder
(treatment and prevention of, by nitrogen-containing heterocycles)

IT Brain, disease or disorder
(cerebrovascular, treatment and prevention of, by nitrogen-containing heterocycles)

IT Brain, disease or disorder
(ischemia, treatment and prevention of, by nitrogen-containing heterocycles)

IT 95374-61-1P 107025-80-9P 107025-81-0P 118411-68-0P 118411-69-1P
118411-70-4P 118411-71-5P 118411-72-6P 118411-73-7P 118411-74-8P
118411-75-9P 118411-76-0P 118411-77-1P 118411-78-2P 118411-79-3P
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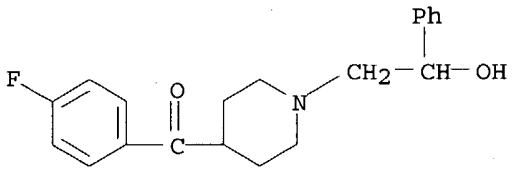
118412-23-0P 118412-24-1P 118412-25-2P 118412-26-3P 118412-27-4P
118412-28-5P 118412-29-6P 118412-30-9P 118412-31-0P 118412-32-1P
118412-33-2P 118412-34-3P 118412-35-4P 118412-36-5P 118412-37-6P
118412-38-7P 118412-39-8P 118412-40-1P 118412-41-2P 118412-42-3P
118412-43-4P 118412-44-5P 118412-45-6P 118412-46-7P 118412-47-8P
118412-48-9P 118412-49-0P 118412-50-3P 118412-51-4P 118412-52-5P
118412-53-6P 118412-54-7P 118412-55-8P 118412-56-9P 118412-57-0P
118412-58-1P 118412-59-2P 118412-60-5P 118412-61-6P 118412-62-7P
118412-63-8P 118412-64-9P 118412-70-7P 118425-43-7P 118425-44-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment and prevention of cerebral disorders)

IT 613-54-7 700-46-9 2633-50-3 5696-78-6 13686-51-6 20849-71-2, 1-Chloro-2-(2-naphthyl)ethane 31252-42-3, 4-Benzylpiperidine 54924-33-3 56346-57-7, 4-(p-Fluorobenzoyl)piperidine 58113-36-3 92822-02-1, 4-(p-Fluorobenzyl)piperidine 118412-65-0 118412-66-1 118412-67-2 118412-68-3 118412-69-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of drug for cerebral disorders)

IT **118411-89-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment and prevention of cerebral disorders)

RN 118411-89-5 HCAPLUS

CN Methanone, (4-fluorophenyl)[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

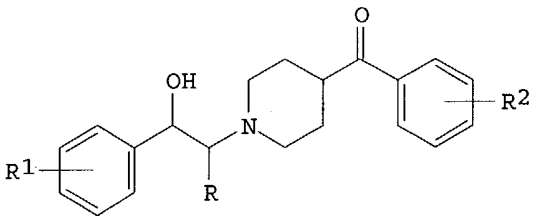
L157 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:598088 HCAPLUS
DN 107:198088
ED Entered STN: 27 Nov 1987
TI Preparation of 1-phenyl-2-(4-benzoylpiperidino)alkanols as cerebrovascular agents
IN Gaudilliere, Bernard; Rousseau, Jean
PA Synthelabo S. A., Fr.
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA French
IC ICM C07D211-32
ICS A61K031-445
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 202164	A1	19861120	EP 1986-401000	19860512
	EP 202164	B1	19890208		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	FR 2581993	A1	19861121	FR 1985-7270	19850514
	FR 2581993	B1	19880318		
	AT 40684	E	19890215	AT 1986-401000	19860512
	FI 8601995	A	19861115	FI 1986-1995	19860513
	DK 8602203	A	19861115	DK 1986-2203	19860513
	NO 8601897	A	19861117	NO 1986-1897	19860513
	JP 61260063	A2	19861118	JP 1986-110463	19860513
	AU 8657406	A1	19861120	AU 1986-57406	19860513
	AU 584701	B2	19890601		
	ZA 8603533	A	19861230	ZA 1986-3533	19860513
	HU 40790	A2	19870227	HU 1986-1975	19860513
	HU 195640	B	19880628		
	US 4711899	A	19871208	US 1986-862715	19860513
	ES 554895	A1	19880401	ES 1986-554895	19860513
	IL 78773	A1	19900917	IL 1986-78773	19860513
	ES 557582	A1	19880216	ES 1987-557582	19870608
PRAI	FR 1985-7270		19850514		
	EP 1986-401000		19860512		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 202164	ICM	C07D211-32
	ICS	A61K031-445

OS CASREACT 107:198088
GI



I

AB The title compds. (I; R = H, Me; R1 = H, C1-4 alkyl, C1-4 alkoxy, OH, PhCH2O, CF3, cyano, NO2, NH2, NHAc, MeS, MeSO2, H2NSO2; R2 = H, F, Cl, Me, MeO) were prepared as cerebrovascular agents (no data). Styrene oxide was refluxed 3 h with 4-(4-fluorobenzoyl)piperidine in MeOH containing K2O3 to give I (R = R1 = H, R2 = 4-F).

ST benzoylpiperidineethanol prepn cerebrovascular agent; piperidineethanol benzoyl prepn cerebrovascular agent; vasodilator cerebral benzoylpiperidineethanol prepn

IT Ischemia
(treatment of, phenylpiperidineethanols for)

IT Brain, disease or disorder
(ischemia, treatment of, phenylpiperidineethanols for)

IT Neurotransmitter agonists
(serotoninergic, phenylpiperdineethanols)

IT Receptors
RL: RCT (Reactant); RACT (Reactant or reagent)
(α1-adrenergic, of cerebral cortex, binding to, by phenylpiperidineethanols)

IT 99-03-6, 1-(3-Aminophenyl)ethanone
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)

IT 7463-31-2P, 1-[3-(Acetylamino)phenyl]ethanone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)

IT 111000-50-1P 111000-52-3P 111000-53-4P 111000-56-7P 111000-57-8P
111000-58-9P 111000-59-0P 111000-61-4P 111000-62-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 111000-49-8P 111000-51-2P 111000-55-6P 111000-60-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

IT 30095-56-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-alkylation by, of dioxolanylpiperidine derivative)

IT **109577-45-9P** 110999-77-4P 110999-78-5P 110999-79-6P
110999-80-9P 110999-81-0P 110999-82-1P 110999-83-2P 110999-84-3P
110999-85-4P 110999-86-5P 110999-87-6P 110999-88-7P 110999-89-8P
110999-90-1P 110999-91-2P 110999-92-3P 110999-93-4P 110999-94-5P
110999-95-6P 110999-96-7P 110999-97-8P 110999-98-9P 110999-99-0P
111000-00-1P 111000-01-2P 111000-02-3P 111000-03-4P 111000-04-5P
111000-05-6P 111000-06-7P 111000-07-8P 111000-08-9P 111000-09-0P
111000-10-3P 111000-11-4P 111000-12-5P 111000-13-6P 111000-14-7P
111000-15-8P 111000-16-9P 111000-17-0P 111000-18-1P 111000-19-2P
111000-20-5P 111000-22-7P 111000-24-9P 111000-25-0P 111000-26-1P
111000-27-2P 111000-28-3P 111000-29-4P 111000-30-7P 111000-31-8P
111000-32-9P 111000-33-0P 111000-34-1P 111000-35-2P 111000-36-3P
111000-37-4P 111000-38-5P 111000-39-6P 111000-40-9P 111000-41-0P

111000-42-1P 111000-43-2P 111000-45-4P 111000-46-5P 111000-47-6P
111004-36-5P 111004-37-6P **111058-47-0P 111058-48-1P**
111058-49-2P 111058-50-5P 118411-89-5P
145526-24-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cerebrovascular agent)

IT 96-09-3, Styrene oxide 2788-86-5, 4-Chloro styrene oxide 20697-03-4, 3-Methyl styrene oxide 20780-53-4, (R)-(-)-Phenyloxirane 20780-54-5, (S)-(+)-Phenyloxirane
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation by, of benzoylpiperidines)

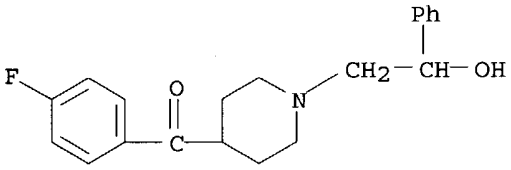
IT 345-94-8 2632-13-5, 2-Bromo-1-(4-methoxyphenyl)ethanone 2632-14-6 111000-54-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation by, of dioxolanylpiperidine derivative)

IT 25519-78-2, 4-(4-Fluorobenzoyl)piperidine hydrochloride 56346-57-7, 4-(4-Fluorobenzoyl)piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation of, by styrene oxides)

IT 53220-47-6 111000-48-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation of, by α-haloketones)

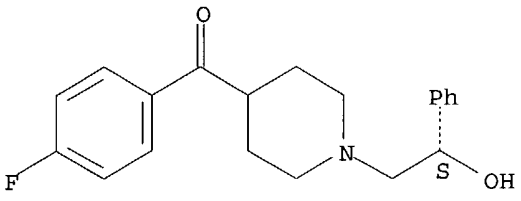
IT **109577-45-9P 111058-47-0P 111058-48-1P**
111058-49-2P 111058-50-5P 118411-89-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cerebrovascular agent)

RN 109577-45-9 HCAPLUS
CN Methanone, (4-fluorophenyl)[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 111058-47-0 HCAPLUS
CN Methanone, (4-fluorophenyl)[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-, hydrochloride, (S)- (9CI) (CA INDEX NAME)

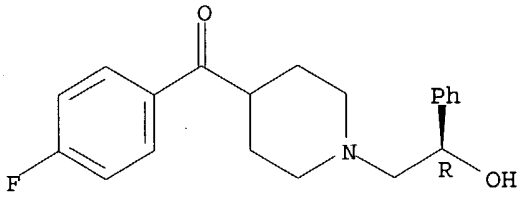
Absolute stereochemistry.



● HCl

RN 111058-48-1 HCAPLUS
CN Methanone, (4-fluorophenyl)[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-, hydrochloride, (R)- (9CI) (CA INDEX NAME)

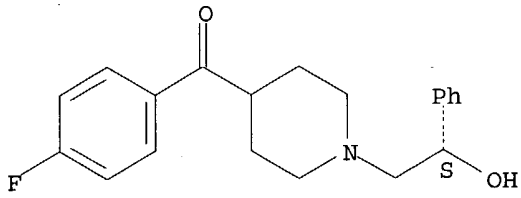
Absolute stereochemistry.



● HCl

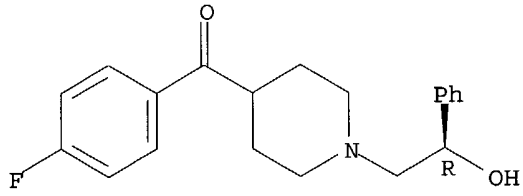
RN 111058-49-2 HCAPLUS
CN Methanone, (4-fluorophenyl)[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

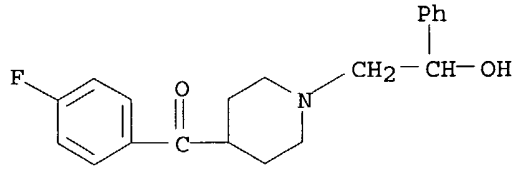


RN 111058-50-5 HCAPLUS
CN Methanone, (4-fluorophenyl)[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 118411-89-5 HCAPLUS
CN Methanone, (4-fluorophenyl)[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L157 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:477645 HCAPLUS
DN 107:77645
ED Entered STN: 05 Sep 1987
TI Dihydropyridinedicarboxylates, procedure for their preparation, and their use as cardiovascular agents
IN Kuehnis, Hans
PA Ciba-Geigy A.-G. , Switz.
SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DT Patent
LA German
IC ICM C07D211-90
ICS C07D409-12; A61K031-445
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 222702	A2	19870520	EP 1986-810492	19861031
EP 222702	A3	19880107		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8605278	A	19870507	DK 1986-5278	19861105
AU 8664837	A1	19870514	AU 1986-64837	19861105
ZA 8608428	A	19870624	ZA 1986-8428	19861105
JP 62114965	A2	19870526	JP 1986-262896	19861106
PRAI CH 1985-4759		19851106		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 222702	ICM	C07D211-90
	ICS	C07D409-12; A61K031-445

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (R = carbo- or heterocyclic aryl; R1 = alkyl; one of R2 and R3 = alkyl, the other = alkyl, cyano, NH2; X = O, NH; Z = alkylene substituted with carbocyclic aryl, with X separated by ≥2 C atoms from ring N; Y = alkylene, CHOH, CO, bond; Ar1 = monocyclic aryl, heteroaryl) and their salts, useful as cardiovascular agents with Ca antagonistic and α-receptor blocking activityand as coronary dilators and antihypertensives for treating cardiovascular disorders such as circulatory disorders, high blood pressure, arrhythmia, and heart insufficiency, were prepared by 5 methods, e.g. by ring closure of diene II (one of X' and Y' = NH2, the other OH or NH2) or a tautomer thereof. A mixture of 4-(4-fluorobenzoyl)piperidine, styrene oxide, and THF was refluxed 15 h to give 2-[4-(4-fluorobenzoyl)-1-piperidinyl]-1-phenylethanol, which was esterified with the reaction product of (COCl)2 and the mono-Me ester of 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid to give a diastereomeric mixture of diester III. The blood pressure of rats treated orally with 2.0 mg III/kg was lowered .apprx.70 mm after 2 h. The IC50 for III in in vitro testing on rat tissue was .apprx.5 μmol/L, .apprx.4 μmol/L, and .apprx.80 μmol/L for K, noradrenaline, and serotonin induced vasoconstriction. Capsules containing 10 mg III were prepared from III 2500, talc 200, and colloidal silicic acid 50 mg.
ST coronary dilator pyridinedicarboxylate prepn; antihypertensive pyridinedicarboxylate prepn; circulation pyridinedicarboxylate prepn; calcium antagonist pyridinedicarboxylate prepn; serotonin antagonist

pyridinedicarboxylate prepn; noradrenaline antagonist pyridinedicarboxylate prepn; potassium antagonist pyridinedicarboxylate prepn; cardiovascular pyridinedicarboxylate prepn

IT Antihypertensives
(pyridinedicarboxylate esters)

IT Vasodilators
(coronary, pyridinedicarboxylate esters)

IT 50-67-9, Serotonine, biological studies 51-41-2, Noradrenaline 7440-09-7, Potassium, biological studies 7440-70-2, Calcium, biological studies
RL: BIOL (Biological study)
(antagonists, pyridinedicarboxylate esters as)

IT 74936-72-4 109577-47-1 109577-49-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of)

IT **109577-45-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

IT 109577-46-0P 109577-48-2P 109577-50-6P 109577-51-7P 109577-52-8P 109577-53-9P 109577-54-0P 109577-55-1P 109577-56-2P 109577-57-3P 109577-58-4P 109577-59-5P 109577-60-8P 109577-61-9P 109577-62-0P 109577-63-1P 109577-64-2P 109577-65-3P 109577-66-4P 109577-67-5P 109577-68-6P 109577-69-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

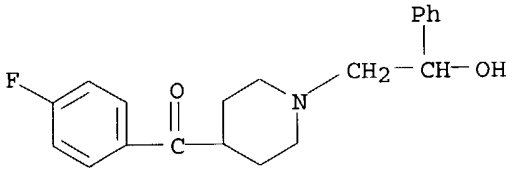
IT 96-09-3, Styrene oxide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (fluorobenzoyl)piperidine)

IT 56346-57-7, 4-(4-Fluorobenzoyl)piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with styrene oxide)

IT **109577-45-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

RN 109577-45-9 HCAPLUS

CN Methanone, (4-fluorophenyl)[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)



=> d l158 all fhitstr tot

L158 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:5766 HCAPLUS

DN 138:55858

ED Entered STN: 05 Jan 2003

TI Preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents.

IN **Choi, Yong-Moon**; Lee, Ki-Ho

PA **SK Corporation, S. Korea**

SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-27
CC 27-8 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 28
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000247	A1	20030103	WO 2002-KR1147	20020618 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1406605	A1	20040414	EP 2002-741462	20020618 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003078235	A1	20030424	US 2002-177041	20020621 <--
PRAI	US 2001-300730P	P	20010625 <--		
	WO 2002-KR1147	W	20020618 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003000247	ICM	A61K031-27

OS MARPAT 138:55858
AB ACHB1CH2B2 [A = heterocyclyl optionally substituted by ≥1 alkyl, aryl, halo, trihalomethyl, trihalomethoxy, trialkylsilyl, SOR, SO2R, SO2NRR', SO3R, SR, NO2, NRR', OR, CN, COR10COR, NHCOR, CO2R, CONRR'; R, R' = H, alkyl, aryl; B1, B2 = OH, O2CNR1R2, provided that B1 and B2 are not simultaneously OH; R1, R2 = H, OH, alkyl, alkoxy, alkylaryl, arylalkyl, aryl, aryloxy], were prepared Thus, 1,1'-carbonyldiimidazole was added to a solution of 1-(2-thienyl)-1,2-ethanediol in CH2Cl2 at 5°; the reaction mixture was allowed to come to room temperature with stirring over 1 h aqueous NH3 was added at 5° followed by stirring at room temperature for 1 h to give [2-(2-thienyl)-2-carbamoyloxyethyl]oxocarboxamide. Title compds. inhibited PTZ-induced convulsions in mice with ED50 = 31.3-50 mg/kg i.p. The compds. are effective in the treatment of disorders of the central nervous system, especially as anticonvulsive or antiepileptic agents.
ST heterocyclylethanediol carbamate prepn anticonvulsant antiepileptic muscle relaxant analgesic; thienylcarbamoyloxyethyloxocarboxamide prepn nervous system agent
IT Analgesics
Anticonvulsants
Human
Nervous system agents
(preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)
IT Muscle, disease
(spasm, treatment; preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)
IT Muscle relaxants
(spasmolytics; preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)
IT Brain, disease
(stroke, treatment; preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)

IT Pain
(treatment; preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)

IT 479639-56-0P 479639-57-1P 479639-58-2P 479639-59-3P 479639-60-6P
479639-61-7P 479639-62-8P 479639-63-9P 479639-64-0P 479639-65-1P
479639-66-2P 479639-67-3P 479639-68-4P 479639-69-5P 479639-70-8P
479639-71-9P 479639-72-0P 479639-73-1P 479639-74-2P 479639-75-3P
479639-76-4P 479639-77-5P 479639-78-6P **479639-79-7P**
479639-80-0P 479639-81-1P 479639-82-2P
479639-83-3P 479639-84-4P **479639-85-5P** 479639-86-6P
RL: PAC (Pharmacological activity); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)

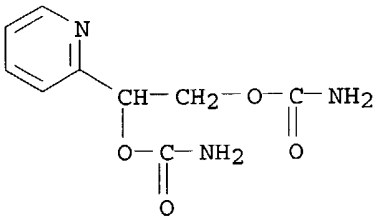
IT 74-89-5, Methylamine, reactions 530-62-1, 1,1'-Carbonyldiimidazole **3944-00-1**, 1-(2-Pyridyl)-1,2-ethanediol 19377-75-4, 1-(2-Furanyl)-1,2-ethanediol **52098-28-9**, 1-(2-Indolyl)-1,2-ethanediol 67162-00-9, 1-(4-Methyl-5-thiazolyl)-1,2-ethanediol 143314-50-5, 1-(2-Thienyl)-1,2-ethanediol 479639-87-7, (+)-(1R)-1-(2-Thienyl)-1,2-ethanediol 479639-88-8, (-)-(1S)-1-(2-Thienyl)-1,2-ethanediol 479639-89-9, 1-(5-Chloro-2-thienyl)-1,2-ethanediol 479639-90-2, (+)-(1R)-1-(5-Chloro-2-thienyl)-1,2-ethanediol 479639-91-3, (-)-(1S)-1-(5-Chloro-2-thienyl)-1,2-ethanediol 479639-92-4, 1-(5-Phenyl-2-thienyl)-1,2-ethanediol 479639-93-5, 1-(3,4,5-Trichloro-2-thienyl)-1,2-ethanediol 479639-94-6, 1-(5-Methyl-2-thienyl)-1,2-ethanediol 479639-95-7, 1-(2,5-Dichloro-3-thienyl)-1,2-ethanediol 479639-96-8, 1-(3-Chloro-2-thienyl)-1,2-ethanediol 479639-97-9 479639-98-0, 1-(5-Trifluoromethyl-2-thienyl)-1,2-ethanediol 479639-99-1, 1-(5-tert-Butyl-2-thienyl)-1,2-ethanediol 479640-00-1, 1-(5-Cyano-2-thienyl)-1,2-ethanediol 479640-01-2, 1-(5-Trimethylsilyl-2-thienyl)-1,2-ethanediol
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)

IT 479640-02-3P 479640-03-4P 479640-04-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anon; EUR POLYM J 1993, V29(9), P1217
(2) Anon; J MED CHEM 1967, V10(3), P491
(3) Anon; NOUV J CHIM 1978, V2(2), P119
(4) Forschungsinstitut Borstel Institut Fur Experimentelle; US 5798343 1998 HCAPLUS
(5) Milliken Research Corporation; EP 918057 A 1999 HCAPLUS

IT **479639-79-7P**
RL: PAC (Pharmacological activity); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of 2-heterocyclyl-1,2-ethanediol carbamates as nervous system agents)

RN 479639-79-7 HCAPLUS
CN 1,2-Ethanediol, 1-(2-pyridinyl)-, bis(carbamate) (ester) (9CI) (CA INDEX NAME)



L158 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:352653 HCAPLUS
DN 129:28207
ED Entered STN: 11 Jun 1998
TI Preparation of O-carbamoylphenylalaninol compounds as central nervous system agents
IN **Choi, Yong Moon**; Han, Dong Il; Kim, Yong Kil; Shin, Hun Woo; Park, Jeong-han
PA Yukong Ltd., S. Korea
SO U.S., 15 pp., Cont.-in-part of U.S. 5,705,640.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07C261-00
NCL 560115000
CC 34-2 (Amino Acids, Peptides, and Proteins)
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5756817	A	19980526	US 1996-726675	19961007 <--
	US 5756817	C1	20010417		
	US 5705640	A	19980106	US 1996-596496	19960205 <--
	US 5705640	C1	20010320		
PRAI	KR 1995-2543	A	19950211 <--		
	US 1996-596496	A2	19960205 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5756817	ICM	C07C261-00
	NCL	560115000

OS MARPAT 129:28207
AB Title compds. ArCH2CH(NH2)CH2OCONR1R2 (Ar = (substituted) phenyl; R1, R2 = H, lower alkyl, aryl, arylalkyl, cyclopropyl, cyclohexyl; R1R2 = pyrrolidino, piperidino, morpholino, 4-methyl- or 4-phenylpiperazino, etc.) and their pharmaceutically useful salts were prepared The D-isomers of the title compds. were also prepared Title compds. are useful as central nervous system agents (no data).
ST carbamoylphenylalaninol deriv racemic enantiomeric prepn; nervous system agent carbamoylphenylalaninol deriv prepn
IT Nervous system agents
(preparation of O-carbamoylphenylalaninol compds. as central nervous system agents)
IT 463-77-4DP, Carbamic acid, ester with phenylalaninol derivs., preparation
181797-92-2P 181797-93-3P 181797-94-4P
181797-95-5P 181797-96-6P 181797-97-7P 181797-98-8P
181797-99-9P 181798-00-5P **183668-91-9P 183668-93-1P**
183668-95-3P 183668-97-5P 183668-99-7P
183669-01-4P 183669-02-5P 183669-03-6P
183669-04-7P 183669-05-8P 183669-06-9P
183669-07-0P 183669-08-1P 183669-09-2P
183669-10-5P 206063-38-9P 206063-41-4P

206063-42-5P 206063-43-6P 206063-44-7P
206063-45-8P 206063-46-9P 206063-47-0P
206063-48-1P 206063-49-2P 206064-21-3P
206064-26-8P 208119-06-6P 208119-07-7P
208119-08-8P 208119-09-9P 208119-10-2P
208119-11-3P **208119-12-4P** 208119-13-5P **208119-14-6P**
208119-15-7P **208119-16-8P** 208119-17-9P **208119-18-0P**
208119-19-1P **208119-20-4P 208119-21-5P**
208119-22-6P 208119-23-7P 208119-24-8P
208119-25-9P 208119-26-0P 208119-27-1P
208119-28-2P 208119-29-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of O-carbamoylphenylalaninol compds. as central nervous system agents)

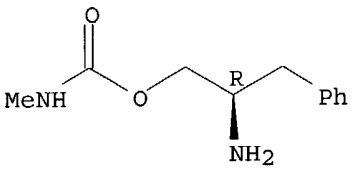
IT **58917-85-4**, N-Benzyloxycarbonyl-D-phenylalaninol
183669-11-6 183669-12-7 183669-14-9
183669-15-0 206063-99-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of O-carbamoylphenylalaninol compds. as central nervous system agents)

IT **181797-75-1P 181797-77-3P 181797-78-4P**
181797-79-5P 181797-82-0P 181797-84-2P 181797-87-5P
181797-89-7P 181797-91-1P **183668-80-6P 183668-83-9P**
183668-85-1P 183668-87-3P 183668-89-5P
183669-13-8P
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of O-carbamoylphenylalaninol compds. as central nervous system agents)

IT **181797-92-2P**
RL: BAC (Biological activity or effector, except adverse); **SPN (Synthetic preparation)**; **PREP (Preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of O-carbamoylphenylalaninol compds. as central nervous system agents)

RN 181797-92-2 HCAPLUS
CN Benzenepropanol, β-amino-, methylcarbamate (ester), monohydrochloride, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L158 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:239197 HCAPLUS
DN 128:295052
ED Entered STN: 27 Apr 1998
TI Preparation of O-carbamoyl-phenylalaninol compounds and their

pharmaceutically useful salts
IN **Choi, Yong Moon**; Han, Dong Il; Kim, Yong Kil; Shin, Hun Woo; Park, Jeong Han
PA Yukong Limited, S. Korea
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C271-12
CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9815526	A1	19980416	WO 1996-KR174	19961010 <--
	W: CA, CN, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2240060	AA	19980416	CA 1996-2240060	19961010 <--
	EP 873308	A1	19981028	EP 1996-935553	19961010 <--
	EP 873308	B1	20020102		
	R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE				
	CN 1204316	A	19990106	CN 1996-198889	19961010 <--
	CN 1076016	B	20011212		
	JP 2000502364	T2	20000229	JP 1998-517405	19961010 <--
	PT 873308	T	20020628	PT 1996-935553	19961010 <--
	ES 2170878	T3	20020816	ES 1996-935553	19961010 <--
PRAI	EP 1996-935553	A	19961010 <--		
	WO 1996-KR174	A	19961010 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9815526	ICM	C07C271-12
CA 2240060	ECLA	C07C271/20; C07C271/24; C07C271/28; C07D295/20B5 <--

OS MARPAT 128:295052
AB Racemic or enantiomerically enriched O-carbamoyl-phenylalaninol compds. PhCH2CH(NH2)CH2O2CNR1R2 (Ph may be substituted; R1, R2 = H, alkyl, aryl, arylalkyl, cyclic Pr, cycloaliph. or R1R2N is a cyclic group which may contain an addnl. nitrogen atom which may be substituted or an oxygen atom) or their pharmaceutically acceptable salts were prepared Thus, O-carbamoyl-o-fluorophenylalaninol hydrochloride was prepared from N-(tert-butoxycarbonyl)-o-fluorophenylalaninol by treatment with 1,1'-carbonyldiimidazole in THF and then ammonia and deprotection by 6N HCl.
ST carbamoyl phenylalaninol prepn pharmaceutical
IT Drugs
(preparation of O-carbamoylphenylalaninol compds. and their pharmaceutically useful salts)
IT 92-54-6, n-Phenylpiperazine 108-91-8, Cyclohexanamine, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-86-4, Octylamine 123-75-1, Pyrrolidine, reactions **58917-85-4** **183669-11-6** **183669-12-7** **183669-13-8** **183669-14-9** **183669-15-0** **206063-99-2** **206064-00-8** **206064-03-1** 206064-05-3 **206064-07-5** **206064-08-6** **206064-09-7** **206064-10-0** **206064-11-1** **206064-13-3** **206064-15-5** **206064-17-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of O-carbamoylphenylalaninol compds. and their pharmaceutically useful salts)
IT **181797-75-1P** **181797-77-3P** **181797-78-4P** **181797-79-5P** **181797-82-0P** 181797-84-2P 181797-87-5P 181797-89-7P 181797-91-1P **183668-80-6P** **183668-83-9P** **183668-85-1P** **183668-87-3P** **183668-89-5P**

RL: RCT (Reactant); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of O-carbamoylphenylalaninol compds. and their pharmaceutically useful salts)

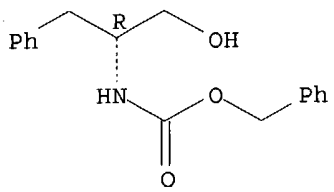
IT **181797-92-2P 181797-93-3P 181797-94-4P**
181797-95-5P 181797-96-6P 181797-97-7P 181797-98-8P
181797-99-9P 181798-00-5P **181798-01-6P 181798-02-7P**
181798-03-8P 181798-04-9P 181798-05-0P
181798-06-1P **181798-07-2P** 181798-08-3P **181798-09-4P**
181798-10-7P **181798-11-8P** 181798-12-9P **181798-14-1P**
183668-91-9P 183668-93-1P 183668-95-3P
183668-97-5P 183668-99-7P 183669-01-4P
183669-02-5P 183669-03-6P 183669-04-7P
183669-05-8P 183669-06-9P 183669-07-0P
183669-08-1P 183669-09-2P 183669-10-5P
206063-38-9P 206063-39-0P 206063-40-3P
206063-41-4P **206063-42-5P 206063-43-6P**
206063-44-7P 206063-45-8P 206063-46-9P
206063-47-0P 206063-48-1P 206063-49-2P
206063-53-8P 206063-55-0P 206063-57-2P
206063-59-4P 206063-61-8P 206063-63-0P
206063-65-2P 206063-67-4P 206063-75-4P
206063-77-6P 206063-80-1P 206063-82-3P
206063-84-5P 206063-86-7P 206063-88-9P
206064-21-3P 206064-26-8P
RL: **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of O-carbamoylphenylalaninol compds. and their pharmaceutically useful salts)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Berger, F; US 2937119 A 1960 HCAPLUS
(2) Yukong Limited; WO 9624577 A1 1996 HCAPLUS

IT **58917-85-4**
RL: **SPN (Synthetic preparation)**; **SPN (Synthetic preparation)**; **PREP (Preparation)**; **PREP (Preparation)**
(preparation of O-carbamoylphenylalaninol compds. and their pharmaceutically useful salts)

RN 58917-85-4 HCAPLUS
CN Carbamic acid, [(1R)-1-(hydroxymethyl)-2-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L158 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:716301 HCAPLUS
DN 126:7835
ED Entered STN: 06 Dec 1996
TI O-Carbamoyl-phenylalaninol having substituent at benzene ring, its pharmaceutically useful salts and method for preparing the same
IN **Choi, Yong Moon**; Han, Dong Il; Kim, Yong Kil; Shin, Hun Woo

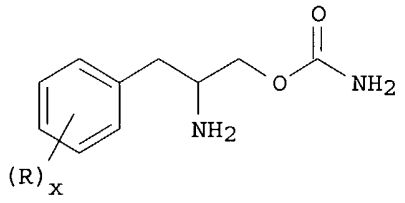
PA Yukong Limited, S. Korea
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C271-10
ICS C07C269-00
CC 25-21 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 34
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9632375	A1	19961017	WO 1996-KR51	19960410	<--
	W: CA, CN, JP					
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	US 6140532	A	20001031	US 1996-629620	19960409	<--
	CA 2217771	AA	19961017	CA 1996-2217771	19960410	<--
	EP 820438	A1	19980128	EP 1996-909388	19960410	<--
	EP 820438	B1	20010620			
	R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE					
	CN 1181064	A	19980506	CN 1996-193142	19960410	<--
	CN 1071741	B	20010926			
	JP 11503447	T2	19990326	JP 1996-530905	19960410	<--
	ES 2160237	T3	20011101	ES 1996-909388	19960410	<--
	PT 820438	T	20011228	PT 1996-909388	19960410	<--
	GR 3036669	T3	20011231	GR 2001-401529	20010919	<--
PRAI	KR 1995-8310	A	19950410	<--		
	WO 1996-KR51	W	19960410	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 9632375	ICM	C07C271-10	
	ICS	C07C269-00	
US 6140532	ECLA	C07C271/12; C07C323/32	<--

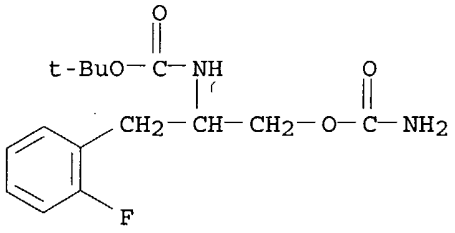
OS MARPAT 126:7835
GI



I

AB Title compds. I and pharmaceutically acceptable salts are disclosed [wherein R = C1-8 alkyl, halo, C1-3 alkoxy or alkylthio, NO2, OH, or CF3; x = 1-3, multiple R's may vary when x = 2 or 3]. Uses of I include treatment and prophylaxis of CNS disorders such as depression, anxiety, epilepsy, stroke, dementia, and Parkinson's disease (no data). For instance, N-(tert-butoxycarbonyl)-o-fluorophenylalaninol in THF was treated with 1,1'-carbonyldiimidazole and then NH3 to give 75% of the O-carbamoyl derivative This was deprotected with HCl in aqueous THF, and the product was acidified with anhydrous HCl in THF and precipitated with Et2O, to give
73% title compound 2-FC6H4CH2CH(NH2)CH2OCONH2.HCl.
ST carbamoylphenylalaninol prepn CNS agent; phenylalaninol carbamoyl prepn antidepressant anxiolytic

IT Mental disorder
(dementia, treatment; preparation of carbamoylphenylalaninols as CNS agents)
IT Anticonvulsants
Antidepressants
Anxiolytics
Cognition enhancers
Nervous system agents
(preparation of carbamoylphenylalaninols as CNS agents)
IT Brain, disease
(stroke, treatment; preparation of carbamoylphenylalaninols as CNS agents)
IT Parkinson's disease
(treatment; preparation of carbamoylphenylalaninols as CNS agents)
IT **183668-80-6P**, O-Carbamoyl-N-(tert-butoxycarbonyl)-o-fluorophenylalaninol **183668-83-9P**, O-Carbamoyl-N-(tert-butoxycarbonyl)-p-fluorophenylalaninol **183668-85-1P**, O-Carbamoyl-N-(tert-butoxycarbonyl)-p-nitrophenylalaninol **183668-87-3P**, O-Carbamoyl-N-(tert-butoxycarbonyl)-p-[(tert-butoxycarbonyl)oxy]phenylalaninol **183668-89-5P**, O-Carbamoyl-N-[(benzyloxy)carbonyl]-m-fluorophenylalaninol
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(intermediate; preparation of carbamoylphenylalaninols as CNS agents)
IT **183668-91-9P**, O-Carbamoyl-o-fluorophenylalaninol hydrochloric acid salt **183668-93-1P**, O-Carbamoyl-p-fluorophenylalaninol hydrochloric acid salt **183668-95-3P**, O-Carbamoyl-p-nitrophenylalaninol hydrochloric acid salt **183668-97-5P**, O-Carbamoyl-p-hydroxyphenylalaninol hydrochloric acid salt **183668-99-7P**, O-Carbamoyl-m-fluorophenylalaninol hydrochloric acid salt **183669-01-4P**, O-Carbamoyl-o-fluorophenylalaninol **183669-02-5P**, O-Carbamoyl-p-chlorophenylalaninol **183669-03-6P**, O-Carbamoyl-m-fluorophenylalaninol **183669-04-7P**, O-Carbamoyl-p-nitrophenylalaninol **183669-05-8P**, O-Carbamoyl-p-fluorophenylalaninol **183669-06-9P**, O-Carbamoyl-p-(methylthio)phenylalaninol **183669-07-0P**, O-Carbamoyl-p-hydroxyphenylalaninol **183669-08-1P**, O-Carbamoyl-p-methoxyphenylalaninol **183669-09-2P**, O-Carbamoyl-3,4-dihydroxyphenylalaninol **183669-10-5P**, O-Carbamoyl-3,4-dimethoxyphenylalaninol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of carbamoylphenylalaninols as CNS agents)
IT 530-62-1, 1,1'-Carbonyldiimidazole 7664-41-7, Ammonia, reactions **183669-11-6**, N-(tert-Butoxycarbonyl)-o-fluorophenylalaninol **183669-12-7**, N-(tert-Butoxycarbonyl)-p-fluorophenylalaninol **183669-13-8**, N-(tert-Butoxycarbonyl)-p-nitrophenylalaninol **183669-14-9**, N-(tert-Butoxycarbonyl)-p-[(tert-butoxycarbonyl)oxy]phenylalaninol **183669-15-0**, N-[(Benzyloxy)carbonyl]-m-fluorophenylalaninol
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of carbamoylphenylalaninols as CNS agents)
IT **183668-80-6P**, O-Carbamoyl-N-(tert-butoxycarbonyl)-o-fluorophenylalaninol
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **SPN (Synthetic preparation)**; RACT (Reactant or reagent); **PREP (Preparation)**
(intermediate; preparation of carbamoylphenylalaninols as CNS agents)
RN 183668-80-6 HCAPLUS
CN Carbamic acid, [2-[(aminocarbonyl)oxy]-1-[(2-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L158 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:605524 HCAPLUS
DN 125:248474
ED Entered STN: 11 Oct 1996
TI Preparation of O-carbamoyl-D-phenylalaninol CNS agents
IN **Choi, Yong Moon**; Han, Dong Il; Kim, Yong Kil
PA Yukong Limited, S. Korea
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C271-12
ICS C07C269-04; C07C295-205
CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 28
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9624577	A1	19960815	WO 1996-KR18	19960208 <--
	W: CA, CN, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2212326	AA	19960815	CA 1996-2212326	19960208 <--
	EP 815074	A1	19980107	EP 1996-901562	19960208 <--
	EP 815074	B1	20011004		
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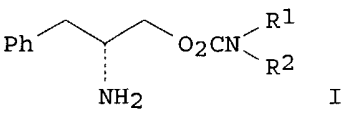
	CN 1173863	A	19980218	CN 1996-191875	19960208 <--
	CN 1070846	B	20010912		
	JP 11501617	T2	19990209	JP 1996-524155	19960208 <--
	ES 2165485	T3	20020316	ES 1996-901562	19960208 <--
	PT 815074	T	20020328	PT 1996-901562	19960208 <--
PRAI	KR 1995-2543	A	19950211 <--		
	WO 1996-KR18	W	19960208 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9624577	ICM	C07C271-12
	ICS	C07C269-04; C07C295-205

OS MARPAT 125:248474
GI



I

AB 80O-carbamoyl-(D)-phenylalaninols [I; R1, R2 = H, C1-8 alkyl, (un)substituted cycloaliph. heterocyclyl; the number of C atoms in both R1 and R2 is 0-16], useful as CNS agents (no data) in the treatment of depression (no data), anxiety (no data), epilepsy (no data), etc. (no

data), are prepared by the reaction of D-phenylalaninol with benzyl chloroformate, followed by carbamoylation of the protected aminoalc. with phosgene, followed by amidation of the carbonate chloride with amines R1(R2)NH. Thus, N-benzyloxycarbonyl-D-phenylalaninol was carbamoylated with phosgene and the intermediate amidated with H2NMe, producing I (R1 = H, R2 = Me) in 78% yield.

ST carbamoylphenylalaninol prepn CNS agent; anxiolytic prepn carbamoylphenylalaninol; antidepressant prepn carbamoylphenylalaninol; antiepileptic prepn carbamoylphenylalaninol

IT Analgesics
Anticonvulsants and Antiepileptics
Antidepressants
Anxiolytics
Nervous system agents
(O-carbamoyl-D-phenylalaninols)

IT 50-21-5, Lactic acid, reactions 50-81-7, Ascorbic acid, reactions **56-84-8**, Aspartic acid, reactions 60-80-0, Antipyrine **64-19-7**, Acetic acid, reactions 65-85-0, Benzoic acid, reactions 69-72-7, reactions 75-31-0, Isopropylamine, reactions 75-44-5, Carbonic dichloride **75-75-2**, Methanesulfonic acid 75-92-3, Hydroxymethanesulfonic acid 77-92-9, Citric acid, reactions 87-69-4, Tartaric acid, reactions 92-54-6, N-Phenylpiperazine **98-11-3**, Benzenesulfonic acid, reactions 107-36-8 108-91-8, Cyclohexylamine, reactions 110-15-6, Succinic acid, reactions 110-16-7, Maleic acid, reactions 110-17-8, Fumaric acid, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-86-4, 1-Aminooctane 121-44-8, Triethylamine, reactions 121-69-7, Dimethylphenylamine, reactions 123-75-1, Pyrrolidine, reactions 141-82-2, Propanedioic acid, reactions 144-62-7, Oxalic acid, reactions 501-53-1, Benzyl chloroformate 526-95-4, Gluconic acid **594-45-6**, Ethanesulfonic acid 3424-21-3, Triisopropylamine **5267-64-1**, D-Phenylalaninol 6674-22-2, DBU 6915-15-7, Malic acid 7087-68-5, Diisopropylethylamine **7647-01-0**, Hydrochloric acid, reactions **7664-38-2**, Phosphoric acid, reactions **7664-93-9**, Sulfuric acid, reactions **58917-85-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of O-carbamoyl-D-phenylalaninol CNS agents)

IT **181797-75-1P 181797-77-3P 181797-78-4P**
181797-79-5P 181797-82-0P 181797-84-2P 181797-87-5P
181797-89-7P 181797-91-1P **181797-92-2P 181797-93-3P**
181797-94-4P 181797-95-5P 181797-96-6P
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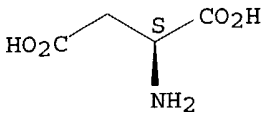
181798-01-6P 181798-02-7P 181798-03-8P
181798-04-9P 181798-05-0P 181798-06-1P
181798-07-2P 181798-08-3P **181798-09-4P** 181798-10-7P
181798-11-8P 181798-12-9P **181798-13-0P**
181798-14-1P
RL: **SPN (Synthetic preparation)**; THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of O-carbamoyl-D-phenylalaninol CNS agents)

IT **56-84-8**, Aspartic acid, reactions
RL: **SPN (Synthetic preparation)**; RACT (Reactant or reagent); **PREP (Preparation)**
(preparation of O-carbamoyl-D-phenylalaninol CNS agents)

RN 56-84-8 HCAPLUS
CN L-Aspartic acid (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).


HO2C
S
CO2H
NH2

=>